EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	44190	carbodiimide	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:12
L2	541881	synthesis carbodiimide	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:12
L3	177225	bromo carbodiimide	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:13
L4	3724	bromo?	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:13
L5	272	l1 and l4	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:13
L6	618400	amine	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:13
L7	240	l5 and l6	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:13
L8	195734	carbonyl	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:14
L9	189	l7 and l8	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:14

EAST Search History

L10	1439	bromoalkyl	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:14
L11	135	l1 and l10	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:16
L12	246836	carboxyl	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:16
L13	113	l12 and l9	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:16
L14	524426	synthesis	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:17
L15	105	l14 and l11	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:18
L16	171465	iodide	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:18
L17	1287049	alkyl	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:19
L18	115660	l16 and l17	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:19
L19	350	iodoalkyl	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:19

EAST Search History

L20	66	l1 and l19	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:19
L21	62	amine and l20	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 13:32
L22	0	532/334.ccls.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 13:38
L23	42	560/334.ccls.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 13:42
L24	632	544/107.ccls.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 13:39
L25	1065	544/162.ccls.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 13:39
L26	0	l23 and l24	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 13:39
L27	0	l23 and l25	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 13:39
L28	20	mopholin	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 13:43
L29	0	l28 and l23	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 13:43

EAST Search History

S1	2	"6642380".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 09:03
S2	2	"654363".ap.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 11:42
S3	2	"3896251".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 11:43
S4	9864	carbodiimide?	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 12:12
S5	591938	halogen	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 11:44
S6	4444	S4 and S5	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 11:44
S7	338	haloalky	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 11:44
S8	7	S7 and S4	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 11:54
S9	17388109	N-((3-morpholinopropylimino)methylene)-6-iodohexan-1-amine	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/05/24 11:54

10/654,363

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptaylc1626

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 4	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS 5	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS 6	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 7	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 8	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 9	MAR 22	EMBASE is now updated on a daily basis
NEWS 10	APR 03	New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 11	APR 03	Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS 12	APR 04	STN AnaVist $500 visualization usage credit offered
NEWS 13	APR 12	LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 14	APR 12	Improved structure highlighting in FQHIT and QHIT display in MARPAT
NEWS 15	APR 12	Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected
NEWS 16	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 17	MAY 11	KOREAPAT updates resume
NEWS 18	MAY 19	Derwent World Patents Index to be reloaded and enhanced

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005. V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT http://download.cas.org/express/v8.0-Discover/

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available after June 2006

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* *

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Thank you in advance for your participation.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:08:19 ON 24 MAY 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:08:34 ON 24 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 MAY 2006 HIGHEST RN 885357-09-5
DICTIONARY FILE UPDATES: 23 MAY 2006 HIGHEST RN 885357-09-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

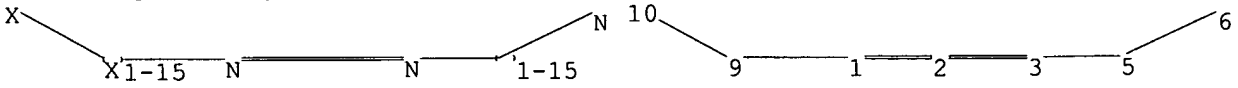
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10654363\10654363H.str



```
chain nodes :
1  2  3  5  6  9  10
chain bonds :
1-2  1-9  2-3  3-5  5-6  9-10
exact/norm bonds :
1-2  1-9  2-3  3-5  5-6
exact bonds :
9-10


G1:X,SO3H

Match level :
1:CLASS  2:CLASS  3:CLASS  5:CLASS  6:CLASS  9:CLASS  10:CLASS



L1      STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 11:08:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED        0 ITERATIONS                                    0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            0 TO        0
PROJECTED ANSWERS:               0 TO        0

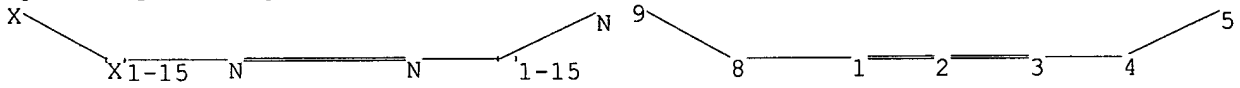
L2            0 SEA SSS SAM L1

=> d
L2 HAS NO ANSWERS
L1              STR
```


```
G1 X,SO3H


Structure attributes must be viewed using STN Express query preparation.
L2            0 SEA FILE=REGISTRY SSS SAM L1


=>
Uploading C:\Program Files\Stnexp\Queries\10654363\10654363K.str
```

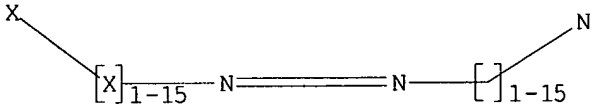



```
chain nodes :
1  2  3  4  5  8  9
chain bonds :
1-2  1-8  2-3  3-4  4-5  8-9
exact/norm bonds :
1-2  1-8  2-3  3-4  4-5
exact bonds :
8-9
```

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 8:CLASS 9:CLASS

L3   STRUCTURE UPLOADED

=> d
L3 HAS NO ANSWERS
L3   STR



Structure attributes must be viewed using STN Express query preparation.

=> s l3
SAMPLE SEARCH INITIATED 11:09:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   0 TO ITERATE

100.0% PROCESSED   0 ITERATIONS   0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 0 TO   0
PROJECTED ANSWERS: 0 TO   0

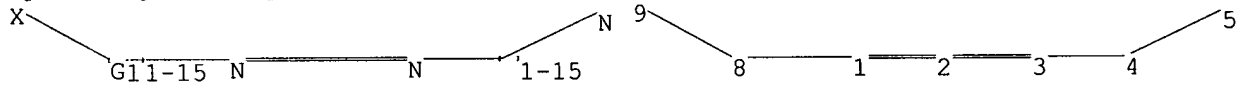
L4   0 SEA SSS SAM L3

=> s l3 full
FULL SEARCH INITIATED 11:09:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   0 TO ITERATE

100.0% PROCESSED   0 ITERATIONS   0 ANSWERS
SEARCH TIME: 00.00.01

L5   0 SEA SSS FUL L3

=>
Uploading C:\Program Files\Stnexp\Queries\10654363\10654363P.str



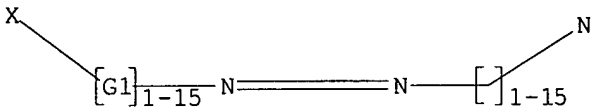
chain nodes :
1 2 3 4 5 8 9
chain bonds :
1-2 1-8 2-3 3-4 4-5 8-9
exact/norm bonds :
1-2 1-8 2-3 3-4 4-5 8-9

G1:C,O,S,P

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 8:CLASS 9:CLASS

L6 STRUCTURE UPLOADED

=> d
L6 HAS NO ANSWERS
L6 STR

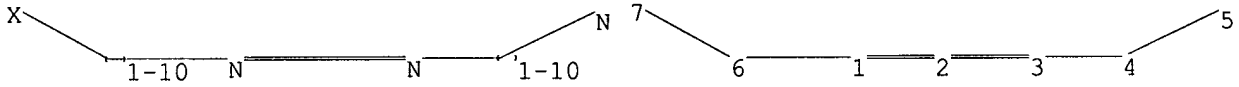


G1 C,O,S,P

Structure attributes must be viewed using STN Express query preparation.

=> s l6
STRUCTURE TOO LARGE - SEARCH ENDED
A structure in your query is too large. You may delete
attributes or atoms to reduce the size of the structure
and try again.

=>
Uploading C:\Program Files\Stnexp\Queries\10654363\10654363Q.str

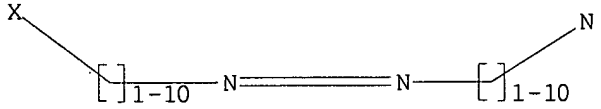


chain nodes :
1 2 3 4 5 6 7
chain bonds :
1-2 1-6 2-3 3-4 4-5 6-7
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5
exact bonds :
6-7

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS

L7 STRUCTURE UPLOADED

=> d
L7 HAS NO ANSWERS
L7 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l7

SAMPLE SEARCH INITIATED 11:17:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1550 TO ITERATE

100.0% PROCESSED 1550 ITERATIONS 30 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 28639 TO 33361
PROJECTED ANSWERS: 272 TO 928

L8 30 SEA SSS SAM L7

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 173.54 173.75

FILE 'CAPLUS' ENTERED AT 11:18:06 ON 24 MAY 2006
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FILE COVERS 1907 - 24 May 2006 VOL 144 ISS 22
FILE LAST UPDATED: 23 May 2006 (20060523/ED)

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http://www.cas.org/infopolicy.html

=> s l8
L9 27 L8

=> d ibib abs hitstr 10-27

L9 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

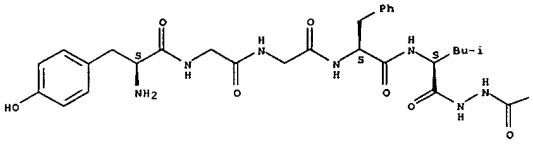
ACCESSION NUMBER: 1986:479340 CAPLUS
DOCUMENT NUMBER: 105:79340
TITLE: β-Chloroethylcarbamoyl derivatives of enkephalin analogs
AUTHOR(S): Suli-Vargha, Helga; Medzihradszky-Schweiger, Hedvig; DiGleria, Katalin; Medzihradszky, Kalman
CORPORATE SOURCE: Res. Group Pept. Chem., Hung. Acad. Sci., Budapest, H-1088, Hung.
SOURCE: Acta Chimica Hungarica (1985), 120(1), 23-8
CODEN: ACHUDC; ISSN: 0231-3146
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Title enkephalin analogs R-Lys(CONHCH2CH2Cl)-Tyr-Gly-Gly-Phe-Leu-OMe (I, R = H), R1-Tyr-Gly-Gly-Phe-Leu-NHNHCOCH2CH2NHCONHCH2CH2Cl (II, R1 = H), and ClCH2CH2NHCO-Tyr-Gly-Gly-Phe-Leu-OH (III) were prepared via treatment of the free amino acid groups with ClCH2CH2NHCOCl (IV). Thus, Boc-Lys-Tyr-Gly-Gly-Phe-Leu-OMe (Boc = Me3CO2C) was treated with IV to give I (R = Boc), which was Boc-deblocked by HCl/EtOAc to give I (R = H). Boc-Tyr-Gly-Gly-Phe-Leu-NHNH2 was condensed with Z-β-Ala-OH (Z = PhCH2O2C) by DCC/HOBt to give Boc-Tyr-Gly-Gly-Phe-Leu-NHNHCOCH2CH2NH2, which was Z-deblocked and then treated with IV to give II (R1 = Boc), which was Boc-deblocked by HCl/EtOAc to give II (R1 = H). The in vitro biol. activities of I (R = H), II (R1 = H), and III were determined in guinea pig ileum, mouse vas deferens, and nictitating cat membrane; I (R = H) and II (R1 = H) showed activity in some of the tests, whereas III did not show significant activity in any of the tests.

IT 84047-88-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and opiate activity of)
RN 84047-88-1 CAPLUS
CN L-Leucine, N-[N-[N-(N-L-tyrosylglycyl)glycyl]-L-phenylalanyl]-, 2-[3-[[[(2-chloroethyl)amino]carbonyl]amino]-1-oxopropyl]hydrazide (9CI) (CA INDEX NAME)

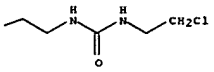
Absolute stereochemistry.

PAGE 1-A



L9 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-B



L9 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

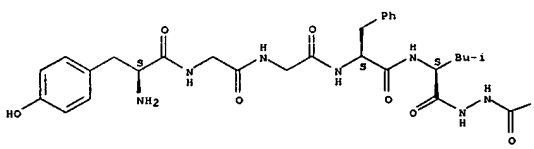
ACCESSION NUMBER: 1985:482007 CAPLUS
DOCUMENT NUMBER: 103:82007
TITLE: Characterization of rat brain opioid receptors by [Tyr-3,5-3H]1, D-Ala2, Leu5-enkephalin binding
AUTHOR(S): Benyhe, Sandor; Toth, Geza; Kevei, Judit; Szucs, Maria; Borsodi, Anna; Di Gleria, Katalin; Szecsi, Judit; Suli-Vargha, Helga; Medzihradszky, Kalman
CORPORATE SOURCE: Inst. Biochem., Hung. Acad. Sci., Szeged, H-6701, Hung.
SOURCE: Neurochemical Research (1985), 10(5), 627-35
CODEN: NEREDZ; ISSN: 0364-3190
DOCUMENT TYPE: Journal
LANGUAGE: English

AB [Tyr-3,5-3H]1-labeled D-Ala2, Leu5-enkephalin (DALA) [64963-01-5] was used for labeling the opioid receptors of rat brain plasma membranes. The labeled ligand was prepared from [Tyr-3,5-diiodo]1, D-Ala2, Leu5-enkephalin [64963-11-7] by catalytic reductive dehalogenation in the presence of Pd catalyst. The resulting [Tyr-3,5-3H]1, D-Ala2, Leu5-enkephalin had a specific activity of 37.3 Ci/mmol. In the binding expts. steady-state level was reached at 24° within 45 min. The pseudo-1st order association rate constant was 0.1/min. The dissociation of the receptor-ligand complex was biphasic with k-1-s of 0.009 and 0.025/min. The existence of 2 binding sites was proved by equilibrium studies. The high affinity site showed a dissociation constant KD = 0.7 nM and binding capacity Bmax = 60 fmol/mg protein; the low affinity site had a KD = 5 nM and Bmax = 160 fmol/mg protein. A series of opioid peptides inhibited [3H]DALA binding more efficiently than morphine-like drugs suggesting that the labeled ligand binds preferentially to the δ-subtype of opioid receptors. Modification of the original peptides either at the C or N terminal ends of the mols. resulted in a decrease in their affinity.

IT 84047-88-1
RL: PROC (Process)
(opiate receptor binding of, in brain membrane)
RN 84047-88-1 CAPLUS
CN L-Leucine, N-[N-[N-(N-L-tyrosylglycyl)glycyl]-L-phenylalanyl]-, 2-[3-[[[(2-chloroethyl)amino]carbonyl]amino]-1-oxopropyl]hydrazide (9CI) (CA INDEX NAME)

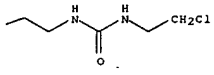
Absolute stereochemistry.

PAGE 1-A



L9 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

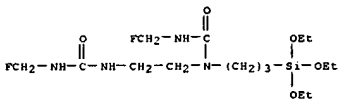
PAGE 1-B



L9 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:215511 CAPLUS
DOCUMENT NUMBER: 100:215511
TITLE: Antitumor pharmaceuticals containing haloalkylureido group-substituted polysilsesquioxanes
PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58225125	A2	19831227	JP 1982-106089	19820622
PRIORITY APPLN. INFO.:			JP 1982-106089	19820622

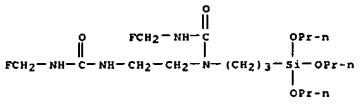
AB Antitumor pharmaceuticals contain haloalkylureido group-substituted polysilsesquioxanes as active ingredients. Thus, capsules are prepared containing Mg stearate 0.6, lactose 9.5, crystalline cellulose 10 and the polysilsesquioxanes 20 parts. γ-(2-Aminoethyl)aminopropyltrimethoxy silane [1760-24-3] in anhydrous hexane was treated with β-chloroethyl isocyanate [1943-83-5] to give a chloroethylureido group-substituted trimethoxysilane [90305-40-1], which was dissolved in MeOH and mixed with H2O, stirred at room temperature for 3 days and worked up to give chloroethylureido-substituted polysilsesquioxanes. These polysilsesquioxanes prolonged survival time when administered i.p. to rats with Walker carcinosarcoma.
IT **90375-69-2P**
RL: PREP (Preparation)
(preparation and self-condensation of)
RN 90375-69-2 CAPLUS
CN 10-Oxa-2,5-diaza-9-siladodecanamide, 9,9-diethoxy-N-(fluoromethyl)-5-[[(fluoromethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)



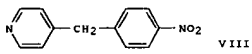
L9 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:210130 CAPLUS
DOCUMENT NUMBER: 100:210130
TITLE: Haloalkylureido group-substituted trialkoxysilanes
PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58222093	A2	19831223	JP 1982-105497	19820621
JP 01044192	B4	19890926		
PRIORITY APPLN. INFO.:			JP 1982-105497	19820621

AB Title compound (RO)3Si(CH2)3[N(CONHQX)CH2CH2]nNHCONHQX (I; R, Q, X, n = Me, CH2CH2, Cl, 1; Me, CH2CH2, Cl, 2; Et, CH2CH2, Cl, 1; Pr, CH2, F, 1) were prepared by treating XQNCO with (RO)3Si(CH2)3(NHCH2CH2)nNH2 (II). Thus, 10.5 g ClCH2CH2NCO was added to 10.09 g II (R = Me, n = 1) in hexane with ice cooling and the mixture stirred overnight at room temperature to give 19.5 g I (R = Me, Q = CH2CH2, X = Cl, n = 1).
IT **90305-43-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 90305-43-4 CAPLUS
CN 10-Oxa-2,5-diaza-9-silatridecanamide, N-(fluoromethyl)-5-[[(fluoromethyl)amino]carbonyl]-9,9-dipropoxy- (9CI) (CA INDEX NAME)



L9 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:17034 CAPLUS
DOCUMENT NUMBER: 98:17034
TITLE: Synthesis and reactivity of N-(β-chloroethyl) carbamoylenkephalin derivatives
AUTHOR(S): Suli-Vargha, Helga; Di Gleria, Katalin; Medzihradszky-Schweiger, Hedvig; Medzihradszky, Kalman
CORPORATE SOURCE: Cent. Res. Inst. Chem., Hung. Acad. Sci., Budapest, Hung.
SOURCE: Pept., Proc. Eur. Pept. Symp., 16th (1981), Meeting Date 1980, 547-52. Editor(s): Brunfeldt, K. Scriptor: Copenhagen, Den.
CODEN: 48NWA3
DOCUMENT TYPE: Conference
LANGUAGE: English
GI

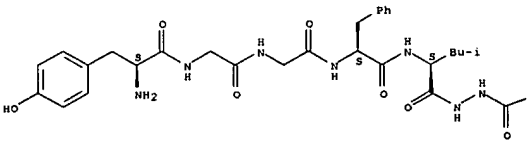


VIII

AB Title enkephalin analog R-Lys(CONHCH2CH2Cl)-Tyr-Gly-Gly-Phe-Leu-OMe (I, R = H) (II) was prepared by treating Boc-Lys-Tyr-Gly-Gly-Phe-Leu-OMe (III, Boc = Me3CO2C) with OCNCH2CH2Cl and Boc-deblocking the resulting I (R = Boc). Enkephalin analog R1-Tyr-Gly-Gly-Phe-Leu-NHNHCOCH2CH2NHCONHCH2CH2Cl (IV, R1 = H) (V) was prepared by treating Boc-Tyr-Gly-Gly-Phe-Leu-NHNHCOCH2CH2NHR2 (VI, R2 = H) (VII) with OCNCH2CH2Cl and Boc-deblocking the resulting IV (R1 = Boc). III was prepared by conventional solution methods. VII was prepared by condensing Boc-Tyr-Gly-Gly-Phe-Leu-NHNH2 with Z-β-Ala-OH (Z = PhCH2O2C) and Z-deblocking the resulting VI (R2 = Z). II and V readily alkylate pyridine VIII to give colored products. V blocked the SH-enzyme D-glyceraldehyde-3-phosphate dehydrogenase.
IT **84047-88-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reactivity and blocking of thiol enzyme by)
RN 84047-88-1 CAPLUS
CN L-Leucine, N-[N-[N-(N-L-tyrosylglycyl)glycyl]-L-phenylalanyl]-, 2-[3-[[[(2-chloroethyl)amino]carbonyl]amino]-1-oxopropyl]hydrazide (9CI) (CA INDEX NAME)

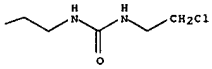
Absolute stereochemistry.

PAGE 1-A



L9 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

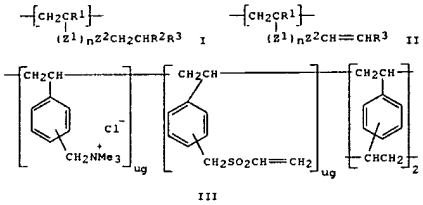
PAGE 1-B



L9 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:485153 CAPLUS
DOCUMENT NUMBER: 93:85153
TITLE: Photographic film units containing a polymeric mordant which covalently bonds with certain dyes
INVENTOR(S): Campbell, Gerald A.; Cohen, Hyman; Hamilton, Lewis R.; Villard, George
PATENT ASSIGNEE(S): Eastman Kodak Co., USA
SOURCE: U.S., 31 pp. Cont.-in-part of U.S. Ser. No. 839,879, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4193795	A	19800318	US 1978-906289	19780515
CA 1117348	A1	19820202	CA 1978-300506	19780405
FR 2405503	A1	19790504	FR 1978-28441	19781005
FR 2405503	B1	19820319		
GB 2006454	A	19790502	GB 1978-39640	19781006
GB 2006454	B2	19820407		
JP 54065033	A2	19790525	JP 1978-123503	19781006
US 4201840	A	19800506	US 1979-13858	19790222
PRIORITY APPLN. INFO.:			US 1977-839879 A2	19771006
			US 1978-906289 A3	19780515

GI

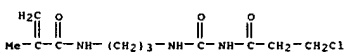


I II

III

AB Image receiving layers of integral image transfer photog. units contain polymeric mordants which form strong covalent bonds with dyes or dye precursors. The mordants are anionic, cationic, or nonionic homopolymers or copolymers which contain the recurring units I or II (R1 = H or alkyl; Z1 = linking group; Z2 = electron with drawing group; R2 = leaving group which can be displaced by nucleophiles or eliminated in the form HX by treatment with base; R3 = H, alkyl or aryl; n = 0-1). Thus, a receptor

L9 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
layer prepd. using a gelatin soln. of III (0.51 mM) was laminated to a multicolor image transfer element with an alk. activator (no developer) spread in between, and sepd. after 10 min. The receptor was washed, and the percent of covalent bonding of amine dyes (estd. from dye d. loss after treatment of the receptor for 2 min with mixt. of MeOH 200, CH2Cl2 200, H2O 200 mL, NH4SCN 10 g) were detd. as: yellow dye 99, magenta dye 91, and cyan dye 99 vs. 0 covalent bonding for all dyes, for a control (prior amt mordant) sample.
IT 66822-63-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 66822-63-7 CAPLUS
CN 2-Propenamide, N-[3-[[[(3-chloro-1-oxopropyl)amino]carbonyl]amino]propyl]-2-methyl- (9CI) (CA INDEX NAME)



L9 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:515317 CAPLUS
DOCUMENT NUMBER: 91:115317
TITLE: Photographic material with a mordant coating
INVENTOR(S): Campbell, Gerald Allan; Cohen, Hyman; Hamilton, Lewis Robert; Villard, George
PATENT ASSIGNEE(S): Eastman Kodak Co., USA
SOURCE: Ger. Offen., 81 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

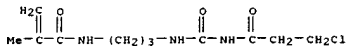
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2843320	A1	19790412	DE 1978-2843320	19781004
CA 1117348	A1	19820202	CA 1978-300506	19780405
FR 2405503	A1	19790504	FR 1978-28441	19781005
FR 2405503	B1	19820319		
GB 2006454	A	19790502	GB 1978-39640	19781006
GB 2006454	B2	19820407		
JP 54065033	A2	19790525	JP 1978-123503	19781006
PRIORITY APPLN. INFO.:			US 1977-839879 A	19771006

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

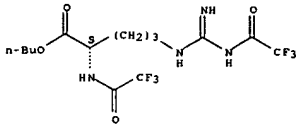
AB Ionic or nonionic polymeric mordanting agents with repeating units I and II (R = H or C1-6 alkyl; Z = bivalent C1-6 alkylene, C6-10 arylene, C7-11 arylenealkylene, CO2R1 or CONHR1, where R1 = C1-6 alkylene, C7-11 arylene, or C6-10 arylene; Z1 = bivalent SO2, CO, CO2, SO, (NR2CO)m, or NR2SO2, where m = 0 or 1 and R2 = H, C1-12 alkyl or C6-13 aryl; X is a group replaceable by a nucleophilic group; and n = 0 or 1, with Z1 = SO2 or CO2 when n = 0) for multilayer color imaging with Ag halide emulsions have superior mordanting properties over present mordanting agents for nucleophilic photog. compds. (dyes, dye-transfer compds., developer inhibitors, color couplers, etc.) with ≥1 aminoalkyl, sulfonamide, or hydroxyphenyl groups. Thus, a 1:1 copolymer mordanting agent (III) of vinylbenzyltrimethylammonium chloride and vinylbenzyl-2-chloroethylsulfone was prepared by mixing m- and p-vinylbenzyltrimethylammonium chloride 30, m- and p-vinylbenzyl-2-chloroethylsulfone 30 g, and 2,2'-azobis(2-methylpropionitrile) 300 mg in DMSO 240 mL, bubbling with N2, heating several h at 60°, precipitating in Me2CO, filtering, washing with Me2CO, and drying. A color image receptor sheet (A) was prepared by coating III 2.16 and gelatin 2.16 g/m2 on a transparent poly(ethylene terephthalate) film support, overcoating with gelatin 0.54 g/m2 and a polymerization agent of bis(vinylsulfonylmethyl) ether, and then with a top reflecting layer of TiO2 2.16 and gelatin 2.16 g/m2. The mordanting capability of the receptive sheet A was superior to that of a similar structure containing IV instead of III for the yellow dye decoupled from V during development.
IT 66822-63-7P

L9 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 66822-63-7 CAPLUS
CN 2-Propenamide, N-[3-[[[(3-chloro-1-oxopropyl)amino]carbonyl]amino]propyl]-2-methyl- (9CI) (CA INDEX NAME)



L9 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:199715 CAPLUS
DOCUMENT NUMBER: 90:199715
TITLE: Gas-liquid chromatographic separation of common amino acids in pine needle extracts
AUTHOR(S): Sarkar, S. K.; Malhotra, S. S.
CORPORATE SOURCE: North. Forest Res. Cent., Can. For. Serv. Fish. Environ. Canada, Edmonton, AB, Can.
SOURCE: Journal of Chromatography (1979), 170(2), 371-8
CODEN: JOCRAM; ISSN: 0021-9673
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An improved gas chromatog. method based on separation of N-trifluoroacetyl n-Bu esters of amino acids on a 2-column setup (Tabsorb and Tabsorb HAC) was developed for identification and estimation of amino acids in pine needles (Pinus banksiana). A comparative study was made of various available gas chromatog. methods for separation and estimation of amino acids from pine needle exts.
IT 70125-44-9
RL: ANT (Analyte); ANST (Analytical study)
(gas chromatog. of)
RN 70125-44-9 CAPLUS
CN L-Ornithine, N5-[imino[(trifluoroacetyl)amino]methyl]-N2-(trifluoroacetyl)-, butyl ester (9CI) (CA INDEX NAME)

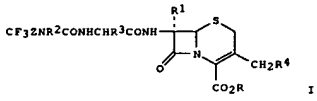
Absolute stereochemistry.



L9 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:546921 CAPLUS
DOCUMENT NUMBER: 89:146921
TITLE: Trifluoroalkylureido-3-heterocyclic-thiomethyl cephalosporins
INVENTOR(S): Breuer, Hermann; Treuner, Uwe D.
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 18 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4092475	A	19780530	US 1976-675355	19760409
PRIORITY APPLN. INFO.:			US 1976-675355	A 19760409

OTHER SOURCE(S): MARPAT 89:146921
GI

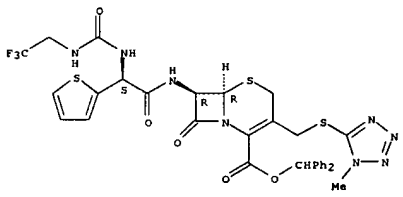


I

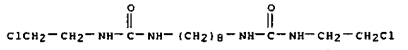
AB 7β-(Substituted-amino)cephalosporanic acids I [R = H, alkyl, phenylalkyl, diphenylalkyl, trialkylsilyl, trihaloethyl, alkali or alkaline earth metal, substituted-ammonium, 1-acyloxyalkyl; R1 = H, OMe; R2 = H, alkyl; Z = linear or branched C1-6 alkylene; R3 = Ph, phenylalkyl, substituted-Ph, (substituted-phenyl)alkyl, heteroaryl; R4 = (heteroaryl)thio], useful as bactericides (no data), were prepared 7β-Aminocephalosporanic acid was treated with 1-methyl-5-mercapto-1H-tetrazole and the product was esterified, N-acylated by a 2-ureidoacetate ester derivative, and saponified to give I [R = R1 = R2 = H, Z = CH2, R3 = 2-thienyl, R4 = (1-methyl-1H-tetrazol-5-yl)thio].
IT 67822-29-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)
RN 67822-29-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-7-[[2-thienyl[[[(2,2,2-trifluoroethyl)amino]carbonyl]amino]acetyl]amino]-, diphenylmethyl ester, [6R-[6α,7β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

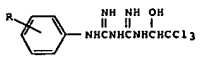
L9 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L9 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:583955 CAPLUS
DOCUMENT NUMBER: 87:183955
TITLE: Potential anticancer agents. XIII. Synthesis of new aliphatic and cycloaliphatic N-nitrosoureas
AUTHOR(S): Baracu, Ileana; Tarnauceanu, Eustanta; Niculescu-Duvaz, I.
CORPORATE SOURCE: Oncol. Inst., Bucharest, Rom.
SOURCE: Revue Roumaine de Chimie (1977), 22(6), 885-98
CODEN: RRCHAX; ISSN: 0035-3930
DOCUMENT TYPE: Journal
LANGUAGE: English
AB N-nitrosation of thirteen RNHCONH(CH2)nNHCONHR (I; R = CH2CH2Cl, cyclohexyl; n = 2, 3, 4, 5, 6, 7, 8) gave the resp. RNHCON(NO)(CH2)nN(NO)CONHR (II); II (n = 2, 6; R = CH2CH2Cl) demonstrated their usefulness in the treatment of leukemia. The addition reaction of OCN(CH2)nNCO with RNH2 gave I, some of which were also prepared from H2N(CH2)nNH2 and RNCO.
IT 64624-49-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-nitrosation of)
RN 64624-49-3 CAPLUS
CN Urea, N,N''-1,8-octanediylbis[N'-(2-chloroethyl)- (9CI) (CA INDEX NAME)

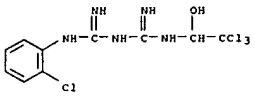


L9 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:151370 CAPLUS
DOCUMENT NUMBER: 86:151370
TITLE: Synthesis and physiological activity of certain chloralphenylbiguanides
AUTHOR(S): Decheva, G.; Karanov, E.
CORPORATE SOURCE: Inst. Plant Physiol., Sofia, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1976), 29(10), 1527-30
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

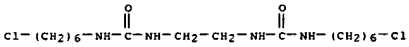
AB The title compds. I synthesized from the appropriate substituted phenylbiguanide by condensation with chloral hydrate [302-17-0] are cytokinins. Thus, in tests on wheat and cucumber seedlings, the highest growth inhibiting activity was shown by N1-p-chlorophenyl-N3-(1-hydroxy-2,2,2-trichloroethyl)-biguanide [62309-02-8] (10-3M). Structure-activity relations are discussed.
IT 62369-50-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and plant growth inhibiting activity of)
RN 62369-50-0 CAPLUS
CN Imidodicarbonimidic diamide, N-(2-chlorophenyl)-N'-(2,2,2-trichloro-1-hydroxyethyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:592341 CAPLUS
DOCUMENT NUMBER: 85:192341
TITLE: Diaminodiphenyldithio ethers
INVENTOR(S): Schwindt, Juergen; Groegler, Gerhard; Recker, Klaus
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2509404	A1	19760916	DE 1975-2509404	19750304
GB 1476620	A	19770616	GB 1976-8258	19760302
BE 839125	A1	19760903	BE 1976-164798	19760303
FR 2303000	A1	19761001	FR 1976-6214	19760304
FR 2303000	B1	19790824		
PRIORITY APPLN. INFO.:			DE 1975-2509404 A	19750304

AB (2-H2NC6H4S)2Z [I; Z = CH2CH2OCH2CH2, CH2C6H4CH2, COCO, etc.] were prepared
by the reaction of 2-HSC6H4NH2 with the appropriate dihalide. Thus, Cl(CH2)6NCO reacted with (MeNHCH2)2 in dioxane to give [R(CH2)6NHCONMeCH2]2 (II; R = Cl), which reacted with 2-NaSC6H4NH2 to give
II (R = 2-H2NC6H4S). I reacted with isocyanates even without a solvent to
give polyurethanes.
IT 60786-89-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, and reaction with mercaptoaniline)
RN 60786-89-2 CAPLUS
CN Urea, N,N''-1,2-ethanediylbis[N'-(6-chlorohexyl)- (9CI) (CA INDEX NAME)

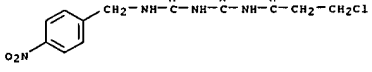


L9 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:578763 CAPLUS
DOCUMENT NUMBER: 85:178763
TITLE: Polyurethanes from diaminodiphenylbis(thio ethers)
INVENTOR(S): Schwindt, Juergen; Groegler, Gerhard; Recker, Klaus
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2509405	A1	19760916	DE 1975-2509405	19750304
CA 1082398	A1	19800722	CA 1976-245572	19760211
AT 350266	B	19790525	AT 1976-1542	19760302
AT 7601542	A	19781015		
BE 839126	A1	19760903	BE 1976-164799	19760303
JP 51111293	A2	19761001	JP 1976-22293	19760303
ES 445719	A1	19770516	ES 1976-445719	19760303
FR 2303033	A1	19761001	FR 1976-6215	19760304
PRIORITY APPLN. INFO.:			DE 1975-2509405 A	19750304

AB Urethane rubbers were prepared using the title thio ethers as chain extenders. For example, 100 parts prepolymer (isocyanate content 3.9%) from TDI and poly(ethylene tetramethylene adipate) (OH value 56) was mixed
with 12.8 parts 2-H2NC6H4S(CH2)4SC6H4NH2-2 at 95° for 30 sec, poured into a mold (releasable in 240 sec), and cured at 110° for 24 hr to give rubber [60806-52-2] with elongation 712%.
IT 60786-89-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with aminothiophenol sodium salt)
RN 60786-89-2 CAPLUS
CN Urea, N,N''-1,2-ethanediylbis[N'-(6-chlorohexyl)- (9CI) (CA INDEX NAME)

L9 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:431641 CAPLUS
DOCUMENT NUMBER: 79:31641
TITLE: New solid 1:1 complexes of acyl urea derivatives
AUTHOR(S): Endo, Tadashi; Sato, Toshio; Mukaiyam, Teruaki
CORPORATE SOURCE: Lab. Org. Chem., Tokyo Inst. Technol., Tokyo, Japan
SOURCE: Tetrahedron Letters (1973), (13), 1069-72
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Treatment of Ar(NHCO)m(CH2)nS(CH2)2S(CH2)n(CONH)mAr (I, Ar = 4-Me2NC6H4, m
= n = 2) with I [Ar = 2,4-(O2N)2C6H3, m = 2, n = 1] in DMF-MeCN gave a solid 1:1 complex. Similarly I (Ar = 4-Me2NC6H4, m = 3, n = 1) formed complexes with I (Ar = 4-O2NC6H4, m = 3, n = 1,2). The analogous systems using Ar(NHCO)m(CH2)nCl did not give solid complexes, but use of the 1-benzylbiuret derivs. ArCH2(NHCO)3(CH2)nX as donor and acceptor gave 1:1 complexes in both the chloro and the bissulfide series. Complex formation
depended on the number of possible mol. interactions and the number of CH2
groups present.
IT 42144-08-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with acyl urea derivs.)
RN 42144-08-1 CAPLUS
CN Propanamide, 2-chloro-N-[[[[[(4-nitrophenyl)methyl]amino]carbonyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1969:460785 CAPLUS
DOCUMENT NUMBER: 71:60785
TITLE: Thio ethers as photographic sensitizers
INVENTOR(S): Froehlich, Alfred
PATENT ASSIGNEE(S): CIBA Ltd.
SOURCE: S. African, 39 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6706201		19680621		
CH 474085			CH	
DE 1643814			DE	
FR 1541980			FR	
GB 1164566			GB	
US 3574709		19710413	US	19671018
PRIORITY APPLN. INFO.:			CH	19661027

AB Q = O2CNHCOCH2CH2Cl, Q1 = NHCONHCOCH2CH2Cl, C4H2S = disubstituted thiophene, Q2 = O2CNHCOCH2CH2SCH2CH2OH, and Q3 = NHCONHCOCH2CH2SCH2CH2OH in this abstract Thioether sensitizers for photographic film were prepared by treating difunctional amines and hydroxyl compds. with isocyanates, especially ClCH2CH2CON:C:O (I), and treating the product with HOCH2CH2SH (II). Thus, 26.8 g. I in 100 ml. absolute Et2O was added at 0-5° to a solution of 6.2 g. HOCH2CH2OH in 200 ml. absolute Et2O and the mixture stirred 12 hrs. to yield 32 g. QCH2CH2Q (III), m. 182° (MeOH and HCONMe2 (IV)). Similarly, reaction of I with HO(CH2)6OH gave Q(CH2)6Q (V), m. 143° (EtOH); HO(CH2)10OH gave Q(CH2)10Q (VI), m. 138° (1:3 IV-EtOH); O(CH2CH2OH)2 (VII) gave O(CH2CH2Q)2 (VIII), m. 143°; HOCH2CH2OCH2CH2OCH2CH2OH gave QCH2CH2OCH2CH2OCH2CH2Q (IX), m. 110° (MeOH); O(CH2CH2OCH2CH2OH)2 (X) gave O(CH2CH2OCH2CH2Q)2 (XI), m. 189° (MeOH); ClCH2CH2CONH2 gave QC(NHCOCH2CH2Cl)2 (XII), m. 119° (MeOH); NH2(CH2)6NH2 gave Q1(CH2)6Q1 (XIII), m. 197° (HOAc); NH2CH2CH2NH2 gave Q1CH2CH2Q1 (XIV), m. 212° (HOAc); NH2CO(CH2)4CONH2 gave Q1CO(CH2)4COQ1 (XV), m. 170° (decomposition) (HOAc); NH2COCH2CH2CONH2 gave Q1COCH2CH2COQ1 (XVI), m. 175° (decomposition) (HOAc); m-C6H4(NH2)2 gave m-C6H4Q12 (XVII), m. 242°; S(CH2CH2OH)2 gave S(CH2CH2Q)2 (XVIII), m. 169° (HOAc), HSCH2CH2SH gave ClCH2CH2CONHCOSCH2CH2SCONHCOCH2CH2 Cl(XIX), m. 206° (decomposition); and CH2(CONHNH2)2 gave CH2(CONHQ1)2 (XX) m. 190° (decomposition) (HOAc). A solution of 4.9 g. SO2(N:C:O)2 (XXI) in 50 ml. absolute Et2O was added to a suspension of 7.2 g. ClCH2CH2CONH2 (XXII) in 100 ml. absolute Et2O at 0-5°. After 24 hrs., the product was filtered, yielding 12 g. SO2Q12 (XXIII), m. 177° (decomposition). A solution of 74 g. XXI in 500 ml. absolute Et2O was added at -5° to a suspension of 71 g. CH2:CHCONH2 in 2 l. absolute Et2O. After stirring 12 hrs. at room temperature, the product was filtered, yielding 140 g. SO2(NHCONHCOCH:CH2)2 (XXIV), m. 186° (decomposition). A solution of 12 g. ClCH2CON:C:O (XXV) in 50 ml. Et2O was added to 9.7 g. X in 50 ml. absolute MeCN. After stirring 4 hrs. at

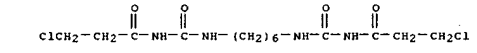
L9 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

room temp., the product was filtered and washed with 100 ml. Et2O, yielding 18 g. O(CH2CH2OCH2CH2OCONHCOCH2Cl)2 (XXVI) m. 66° (EtOH). A soln. of 2 g. XXV in 20 ml. abs. Et2O was added at -10° to 1.76 g. VII in 20 ml. MeCN and 20 ml. Et2O was added. After stirring 12 hrs. at room temp., the mixt. was filtered, yielding 2.5 g. O(CH2CH2OCONHCOCH2Cl)2 (XXVII), m. 153° (MeOH). NH3 was passed into an ice-cooled soln. of 42 g. 2,5-thiophenedicarboxylic acid chloride in 50 ml. abs. Et2O, to yield 32 g. 2,5-C4H2S(CONH2)2 (XXVIII), m. 331° (from IV). A mixt. of 60 g. XXVIII in 1 l. ClCH2CH2Cl and 200 ml. ClCOCOCl was heated on a steam bath until HCl evolution ceased (6 hrs.). The products were vacuum distd. yielding 2,5-C4H2S(CONCO)2 (XXIX), bl 125-8°. A soln. of 11.1 g. XXIX and 10.8 g. XXII in 60 ml. PhCl was boiled 10 min., cooled, and filtered, yielding 2,5-C4H2S(COQ1)2 (XXX), m. 141° (decompn.). Compds. described above are intermediates. To prep. a thio ether sensitizer, a soln. of 6 g. III in 100 ml. IV was added to a soln. of 1.2 g. Na in 40 ml. II. After 4 hrs., the ppt. was filtered, washed, taken up in a little IV at 70°, and mixed with 2 vols. MeOH and cooled yielding 4.8 g. Q2CH2CH2Q2, m. 165°. In an analogous manner, by treating with Na in II, V gave Q2(CH2)6Q2, m. 151° (EtOH); VI gave Q2(CH2)10Q2, m. 145° (EtOH); VIII gave O(CH2CH2Q2)2, m. 182°; IX gave Q2CH2CH2OCH2CH2OCH2CH2Q2, m. 121° (90% EtOH); XI gave O(CH2CH2OCH2CH2Q2)2, m. 109° (H2O); XXIII gave SO2Q32 (XXXI), m. 147° (decompns.); XII gave CO(NHCOCH2CH2SCH2CH2)2, m. 123° (EtOH); XXVI gave O(CH2CH2OCH2CH2Q2)2, m. 84° (EtOH); XIII gave Q3(CH2)6Q3), m. 165° (EtOH + H2O); XXVII gave O(CH2CH2OCONHCOCH2SCH2CH2OH)2, m. 114°; XIV gave Q3CH2CH2Q3, m. 186°; XV gave Q3CO(CH2)4COQ3, m. 145° (decompn.); XVI gave m-C6H4Q32, m. 181° (decompn.); XX gave CH2(CONHQ3)2, m. 166°; and XXX gave 2,5-C4H2S-(COQ3)2. In a similar manner, by treating with II and Et3N (in place of Na), XVI gave Q3COCH2CH2COQ3, m. 167° (decompn.) (pptd. from IV with MeOH); XVIII gave S(CH2CH2OCONHCOCH2CH2SCH2CH2OH)2, m. 160° (HOAc); and XIX gave HOCH2CH2SCH2CH2CONHCOSCH2CH2SCONHCOCH2CH2SCH2CH2OH, m. 154° (HOAc). A soln. of 5.8 g. XXIV and 0.2 g. 1,4-(HO)2C6H4 in 10 ml. IV at 30° was mixed at room temp. with 1 ml. PhCH2N+Me3 OH- (as a 40% soln. in MeOH) and 4 g. II. After 2 hrs., the mixt. was poured into 100 ml. H2O, filtered, and washed with H2O, giving XXXI, m. 147°. A silver bromide-iodide emulsion contg. 34 g. Ag/kg., 0.6 mole % iodine, and sensitized with Au was mixed with a soln. of the sensitizer (0.0026 mole/mole Ag halide as a concd. H2O, EtOH, H2O + EtOH, or IV + EtOH soln.). The emulsion was cast onto a support, dried, exposed, and developed. Emulsions contg. the sensitizers exhibited sensitivity gains up to 250% with little or no increase in haze.

IT **24777-54-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 24777-54-6 CAPLUS

CN Urea, 1,1'-hexamethylenebis[3-(3-chloropropionyl)- (8CI) (CA INDEX NAME)



L9 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

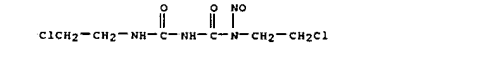
ACCESSION NUMBER: 1967:473116 CAPLUS
DOCUMENT NUMBER: 67:73116
TITLE: Synthesis of potential anticancer agents. XXXVII. N-Nitrosoureas. 3. 1,5-Bis(2-chloroethyl)-1-nitrosobiuret and related derivatives of biurets, biureas, and carboxamides
AUTHOR(S): Johnston, Thomas Patrick; Opliger, Pamela S.
CORPORATE SOURCE: Southern Res. Inst., Birmingham, AL, USA
SOURCE: Journal of Medicinal Chemistry (1967), 10(4), 675-81
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 67:73116

AB cf. CA 66: 1279m; preceding abstract The search for congeners of 1,3-bis(2-chloroethyl)-1-nitrosourea (I) as anticancer agents was extended to nitroso derivs. of biurets, biureas, and carboxamides. The aqueous decomposition of N-nitrosobiurets in the presence of 2-chloroethylamine, a method involving in situ generation of carbamoyl isocyanates, made possible the preparation of N-(2-chloroethyl)-substituted biurets, from which 5-(2-chloroethyl)-1-methyl-1-nitrosobiuret and 1,5-bis(2-chloroethyl)-1-nitrosobiuret (II) were derived. Alkali cyclizations of N-(2-chloroethyl)biurets produced 2-oxo-1-imidazolinecarboxamides, which could be nitrosated only on the ring N. Of several new methyl- and 2-chloroethyl-substituted biureas prepared, including 1,6-bis(2-chloroethyl)biurea, only 1,3,6-trimethylbiurea yielded a pure mono- or dinitroso derivative Interception of the nitrosation product of 1-methylbiurea with cyclohexylamine resulted in the isolation of 3-cyclohexyl-1-methyl-1-nitrosourea and 1,3-dicyclohexylurea. Unlike N,N'-bis(2-chloroethyl)oxamide, which resisted nitrosation under favorable conditions, N,N'-bis(2-chloroethyl)hexanediamide and N,N'-bis(2-chloroethyl)-trans-1,4-cyclohexanedicarboxamide were converted by nitrosation in Ac2O-HOAc to the resp. crystalline dinitroso derivs. (III) and (IV). Some of the nitroso derivs. of biurets, biureas, and carboxamides increased the life span of leukemic mice, but data obtained with a limited number of congeners (II, III, IV, and (2-chloroethyl)-N-nitrosocyclohexanecarboxamide indicate that substitution by the 2-chloroethyl group does not result in the outstanding activity against L1210 leukemia previously observed with I and related nitrosoureas. 33 references.

IT **13857-12-0P 16813-30-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 13857-12-0 CAPLUS

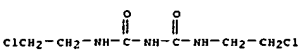
CN Imidodicarbonic diamide, N,N'-bis(2-chloroethyl)-N-nitroso- (9CI) (CA INDEX NAME)



RN 16813-30-2 CAPLUS

CN Biuret, 1,5-bis(2-chloroethyl)- (8CI) (CA INDEX NAME)

L9 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L9 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1965:30068 CAPLUS
DOCUMENT NUMBER: 62:30068
ORIGINAL REFERENCE NO.: 62:5366g-h,5367a
TITLE: Azo dyes containing N-(2-phenoxysulfonylethyl)amino groups
INVENTOR(S): Wunderlich, Hermann; Weis, Konrad
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: 5 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

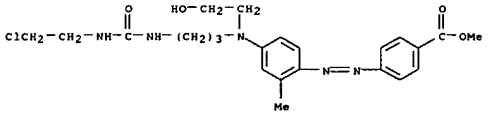
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1361299		19640515	FR 1963-940421	19630704
PRIORITY APPLN. INFO.:			DE	19620705

AB Compds. of the general formula 3,4-R(R'N: N)C6H3N(CH2CH2X)R'' (I) are dyes for poly(ethylene terephthalate) fibers (II). Thus, 2.7 parts 5-amino-3-phenyl 1,2,4-thiadiazole is diazotized and coupled with 4.5 parts PhN-(CH2CH2SO3Ph)Et (III) to give I (R' = 3-phenyl-1,2,4-thiadiazol-5-yl, R = H, R'' = Et, X = SO3Ph), scarlet on II. Similarly prepared are the following I (R', R, R', X, and color on II given): 2,6,4-Cl2(O2N)C6H2, Me, Et, SO3Ph, orange-brown; p-O2NC6H4, H, CH2CH2SO3Ph, SOPh, yellowish orange; p-O2NC6H4, H, Et, OCH2CH2SO3Ph, red. A mixture of CH2:CHSO3H 37, PhNHEt 25, and HOAc 4 parts is heated 12 hrs. at 140-70° to give III. Also prepared are m-MeC6H4N(CH2CH2SO3Ph)Et, PhN(CH2CH2SO3Ph)2 [m. 108-9° (EtOH)], and PhN(CH2CH2OCH2CH2SO3Ph)Et.

IT 3224-08-6, Benzoic acid, p-[[4-[[3-[3-(2-chloroethyl)ureido]propyl]-(2-hydroxyethyl)amino]-o-tolyl]azo]-, methyl ester
(preparation of)

RN 3224-08-6 CAPLUS

CN Benzoic acid, p-[[4-[[3-[3-(2-chloroethyl)ureido]propyl](2-hydroxyethyl)amino]-o-tolyl]azo]-, methyl ester (7CI, 8CI) (CA INDEX NAME)



L9 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

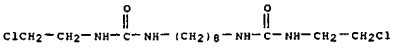
ACCESSION NUMBER: 1958:20963 CAPLUS
DOCUMENT NUMBER: 52:20963
ORIGINAL REFERENCE NO.: 52:3728c-g
TITLE: Synthesis of thiocols containing polar linkages
AUTHOR(S): Iwakura, Yoshio; Hori, Toshio; Suzuki, Kunio; Wakasugi, Toshihisa; Kobayashi, Gensuke
CORPORATE SOURCE: Tokyo Inst. Technol.
SOURCE: Kogyo Kagaku Zasshi (1956), 59, 564-7
CODEN: KGKZA7; ISSN: 0368-5462
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Tetramethylene bis(chloroethyl)urethan (I), tetramethylene bis(chlorobutyl)urethan (II), trimethylene bis(chloroethyl)urethan (III), and octamethylene bis(chloroethyl)urethan (IV) were obtained by reactions of chlorhydrin (ethylenechlorhydrin or 4-chlorobutanol) and polymethylene diisocyanate (methylene radical number, 3, 4, 6, and 8) in Et2O or C6H6 at room temperature or with boiling. I was treated with Na2S4 solution in H2O or MeOH, with or without the presence of Mg(OH)2 disperse agent, by heating for 10 hrs. to give polymers with good rubber-like elasticity and capability to produce elastic sheets loaded with ZnO and C fillers. The corresponding polymers from II softens at 70° and spinnable, but gave only fragile fibers. Polysulfide of IV, softening at 68-70°, was spinnable. III gave an elastic polymer with good properties as rubber-like material as those obtained from I. The stress-elongation curves of elastomers from I and III are given. Tetramethylene bis(chloroethyl)urea, from the reaction of chloroethylamine with tetramethylene diisocyanate in Et2O in the presence of Na2CO3, gave yellow powder polysulfide, softening at 180°; with Na2S4 in H2O or MeOH at 100° for 10-11 hrs., it did not make a rubber sheet. Similarly, octamethylene bis(chloroethyl)urea gave a brown polymer, decomposing at 191°, with spinnability to give weak fibers. Tetramethylene dichloroacetamide, hexamethylene dichloroacetamide, ethylene di-β-chloropropionamide, tetramethylene di-β-chloropropionamide, and hexamethylene di-β-chloropropionamide also gave the corresponding polysulfide by reaction with Na2S4 in H2O at 80-100° 8-10 hrs., the softening p. was 140-150, 110, 170-180, 180, and 180°, resp., and all were spinnable to give weak fibers.

IT 64624-49-3, Urea, 1,1'-octamethylenebis[3-(2-chloroethyl)-
(preparation of)

RN 64624-49-3 CAPLUS

CN Urea, N,N''-1,8-octanediylbis[N'-(2-chloroethyl)- (9CI) (CA INDEX NAME)



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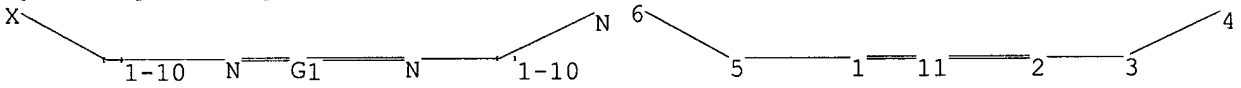


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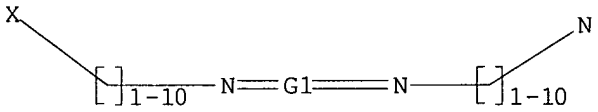

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PROJECTED ANSWERS: 363 TO 1085

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L14 216 L13

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L14 ANSWER 30 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN
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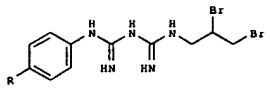
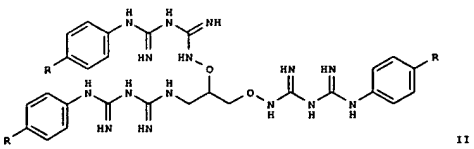
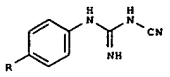


I



II



III

AB Various phthalimido-phthalimido-oxy, amino-amino-oxy, biguanidino-biguanidino-oxy and related derivs. of alkanes such as I (R = Me, Br, Cl, O2N) and II (R = Me, Br, Cl, O2N) have been synthesized. N-(allyl) phthalimide was prepared by phase transfer catalyzed substitution with potassium phthalimide in 80% yield and brominated to produce 2,3-dibromophthalimidopropane in 97% yield. Condensation of 2,3-dibromophthalimidopropane with N-hydroxy phthalimide gave 1,2-bis(phthalimidooxy)-3-phthalimidopropane in 50% yield. Hydrolysis of 1,2-bis(phthalimidooxy)-3-phthalimidopropane in HBr/AcOH produced 3-amino 1,2-bis-amino-oxypropane trihydrobromide in 62% yield. Condensation of 3-amino 1,2-bis-amino-oxypropane trihydrobromide with substituted aryldicyandiamides III (R = Me, Br, Cl, O2N) gave II (R = Me, Br, Cl, O2N) in 58-70% yields. Treatment of 2,3-dibromopropylamine hydrobromide with III (R = Me, Br, Cl, O2N) gave I (R = Me, Br, Cl, O2N) in 55-65% yields.

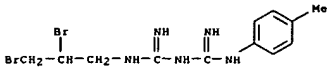
ACCESSION NUMBER: 2000:148020 CAPLUS
DOCUMENT NUMBER: 132:293558
TITLE: Synthesis of bis-1,2-aryl-biguanidino-oxy, 3-biguanidinopropane as potential antimalarials
AUTHOR(S): Khatri, Dilip; Rajora, Sonal; Banu, Tahira; Talesara, G. L.
CORPORATE SOURCE: Department of Chemistry, College of Science, M.L. Sukhadia University, Udaipur, 313 001, India
SOURCE: Asian Journal of Chemistry (1999), 11(4), 1438-1444
CODEN: AJCHEW; ISSN: 0970-7077
PUBLISHER: Asian Journal of Chemistry

L14 ANSWER 30 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

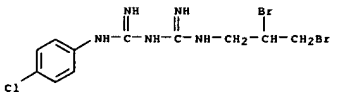
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 30 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

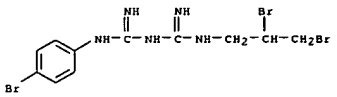
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:293558
IT **264622-34-6P 264622-35-7P 264622-36-8P 264622-37-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of bis(biguanidinooxy)biguanidinopropanes)
RN 264622-34-6 CAPLUS
CN Imidodicarbonimidic diamide, N-(2,3-dibromopropyl)-N'-(4-methylphenyl)-(9CI) (CA INDEX NAME)



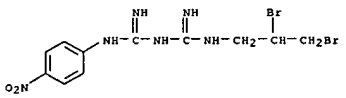
RN 264622-35-7 CAPLUS
CN Imidodicarbonimidic diamide, N-(4-chlorophenyl)-N'-(2,3-dibromopropyl)-(9CI) (CA INDEX NAME)



RN 264622-36-8 CAPLUS
CN Imidodicarbonimidic diamide, N-(4-bromophenyl)-N'-(2,3-dibromopropyl)-(9CI) (CA INDEX NAME)



RN 264622-37-9 CAPLUS
CN Imidodicarbonimidic diamide, N-(2,3-dibromopropyl)-N'-(4-nitrophenyl)-(9CI) (CA INDEX NAME)



L14 ANSWER 31 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN
AB Cyclic compds., e.g., R1R15'NC(Q)NR15(Y)n(CH)pC(X)W [R1 = (un)subatituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl, aryl, heterocyclyl, heteroaryl; R15 = H, alkyl, substituted alkyl, aryl, heteroaryl, heterocyclyl; R15' = H, OH, alkyl, substituted alkyl, heterocyclyl, heteroaryl; W together with (CH)pC(X) forms an (un)substituted cycloalkyl or cycloalkenyl, heterocyclyl, which are optionally fused to form a bi- or multi-fused ring systems; X = oxo, thioxo, hydroxyl, thiol, or hydro (H,H); Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; p = 0 or 1], were prepared for inhibition of β-amyloid peptide release and/or its synthesis. Thus, (S)-3-{[N-(2-thiophenecarbonyl)-L-alaninyl]amino}-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one was prepared via acylation of (S)-3-(L-alaninylamino)-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one with 2-thiophenecarboxylic acid. Compds. of the invention inhibit β-amyloid peptide production by at least 30% as compared to the control.

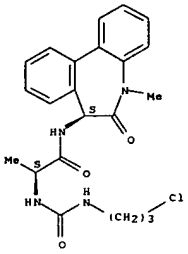
ACCESSION NUMBER: 1999:819353 CAPLUS
DOCUMENT NUMBER: 132:64534
TITLE: Preparation of cyclic amino acid compounds for inhibiting β-amyloid peptide release and/or its synthesis
INVENTOR(S): Thompson, Richard C.; Wilkie, Stephen; Stack, Douglas R.; Vanmeter, Eldon E.; Shi, Qing; Britton, Thomas C.; Audia, James E.; Reel, Jon K.; Mabry, Thomas E.; Dressman, Bruce A.; Cwi, Cynthia L.; Henry, Steven S.; Mcdaniel, Stacey L.; Stucky, Russell D.; Porter, Warren J.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company; et al.
SOURCE: PCT Int. Appl., 714 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967221	A1	19991229	WO 1999-US14193	19990622
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2325389	AA	19991229	CA 1999-2325389	19990622
AU 9947101	A1	20000110	AU 1999-47101	19990622
EP 1089980	A1	20010411	EP 1999-930594	19990622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518483	T2	20020625	JP 2000-555875	19990622
US 2005192265	A1	20050901	US 2004-2922	20041203
PRIORITY APPLN. INFO.:			US 1998-102507	A2 19980622

	WO 1999-US14193	W 19990622
	US 2003-392332	A3 20030320

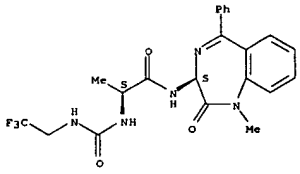
OTHER SOURCE(S): MARPAT 132:64534
IT **253324-01-5P 253324-28-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic amino acid compds. for inhibiting β-amyloid peptide release)
RN 253324-01-5 CAPLUS
CN Propanamide, 2-[[[(3-chloropropyl)amino]carbonyl]amino]-N-[(7S)-6,7-dihydro-5-methyl-6-oxo-5H-dibenz[b,d]azepin-7-yl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



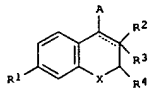
RN 253324-28-6 CAPLUS
CN Propanamide, N-[(3S)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-2-[[[(2,2,2-trifluoroethyl)amino]carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

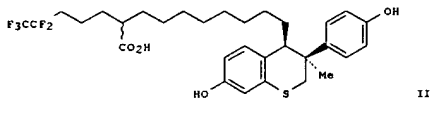


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

GI



I



II

AB Title compds. (I) [where X = O or S; R1 = H, OH, acyloxy, or alkoxy; R2 = (un)substituted Ph, (un)substituted amino, or a 5- or 6-membered unsatd. heterocycle containing N, O, or S; R3 = null, H, or alkyl; R4 = H or alkyl, A = H, hydroxyalkyl, carboxyalkyl, carboxyvinylphenyl, pyrrole substituted by carboxyvinylbenzyl, etc.] were prepared for use in the treatment breast cancer. Examples include over 70 syntheses and 3 bioassays. For example, II was prepared by a 14-step sequence involving: (1-2) a 2-step synthesis of 8-(t-butyldimethylsilyloxy)-1-octyne, (3) 4-alkynation of 7-methoxy-3-(4-methoxyphenyl)-3-methylthiochroman-4-one with the octyne (99.3%), (4) reduction of the 4-hydroxy group by NaBH4 in the presence of ZnI2 followed by hydrogenation of the alkyne by Pd/C (50.5%), (5) desilylation (93%), (6) O-mesylation (97.7%), (7) iodation of the mesylate (93.6%), (8-10) 3-step synthesis of di-Et 2-(4,4,5,5,5-pentafluoropentyl)propane-1,3-dioate, (11) addition of the di-Et malonate derivative to the 8-iodooctylthiochroman (95.9%), (12) deesterification, (13) decarboxylation (82.1%), and (14) deprotection of the OH groups (88.7%). The MCF-7 cell growth inhibiting activities of representative invention compds. varied widely [IC50 = 54.5 nM to 4993 nM compared with IC50 = 77 nM (trans) and 9.2 nM (cis) for the known antiestrogenic compound ZM 189154]. The antiestrogenic activities of I (oral administration) in ovariectomized mice were comparable or superior to ZM 189154.

ACCESSION NUMBER:	1999:811229 CAPLUS
DOCUMENT NUMBER:	132:49886
TITLE:	Preparation of benzopyran and benzothiopyran derivatives with antiestrogenic activity
INVENTOR(S):	Jo, Jae Chon; Lim, Hyun Suk; Kim, Jong Min; Kim, Ju Su; Morikawa, Kazumi; Kanbe, Yoshitake; Kim, Myung Hwa; Nishimoto, Masahiro
PATENT ASSIGNEE(S):	C & C Research Laboratories, S. Korea
SOURCE:	PCT Int. Appl., 457 pp.

CODEN:	PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965893	A1	19991223	WO 1999-KR300	19990614
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
KR 2000001793	A	20000115	KR 1998-22212	19980613
CA 2334634	AA	19991223	CA 1999-2334634	19990614
AU 9941719	A1	20000105	AU 1999-41719	19990614
AU 756589	B2	20030116		
EP 1087959	A1	20010404	EP 1999-925450	19990614
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002529372	T2	20020910	JP 2000-554718	19990614
NO 2000006293	A	20010213	NO 2000-6293	20001211
KR 2001052755	A	20010625	KR 2000-714048	20001211
US 6645951	B1	20031111	US 2001-719608	20010716
US 2004102479	A1	20040527	US 2003-640696	20030812

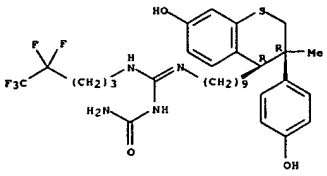
PRIORITY APPLN. INFO.:

KR 1998-22212	A	19980613
WO 1999-KR300	W	19990614
US 2001-719608	A3	20010716

OTHER SOURCE(S): MARPAT 132:49886
IT **252944-65-3P 252945-18-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of benzopyran and benzothiopyran derivs. with antiestrogenic activity for the treatment of breast cancer)
RN 252944-65-3 CAPLUS
CN Urea, [[[9-[(3R,4R)-3,4-dihydro-7-hydroxy-3-(4-hydroxyphenyl)-3-methyl-2H-1-benzothiopyran-4-yl]nonyl]amino][(4,4,5,5,5-pentafluoropentyl)amino]methylene]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

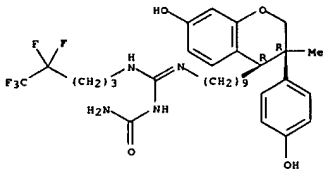
L14 ANSWER 32 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 252945-18-9 CAPLUS
CN Urea,
[[[9-[(3R,4R)-3,4-dihydro-7-hydroxy-3-(4-hydroxyphenyl)-3-methyl-2H-

1-benzopyran-4-yl]nonyl]amino][(4,4,5,5,5-pentafluoropentyl)amino]methylen
e)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 33 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN

AB The present invention is directed to a method of using somatostatin or a somatostatin agonist to inhibit the proliferation of Helicobacter pylori (H. pylori), which comprises administering to a patient in need thereof an

effective amount of said somatostatin or somatostatin agonist. Preferably,

a somatostatin sub-type receptor 2 (SSTR-2) selective somatostatin agonist

is administered in a method of this invention. The inhibition of H. pylori proliferation is useful in treating various gastroduodenal diseases

such as peptic ulcers, gastric cancer and gastric lymphoma.

ACCESSION NUMBER: 1999:670109 CAPLUS
DOCUMENT NUMBER: 131:295567
TITLE: Inhibition of Helicobacter pylori proliferation
INVENTOR(S): Kaneko, Hiroshi; Mitsuma, Terunori; Yamashita, Koichi; Morgan, Barry
PATENT ASSIGNEE(S): Biomeasure, Inc., USA
SOURCE: U.S., 19 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

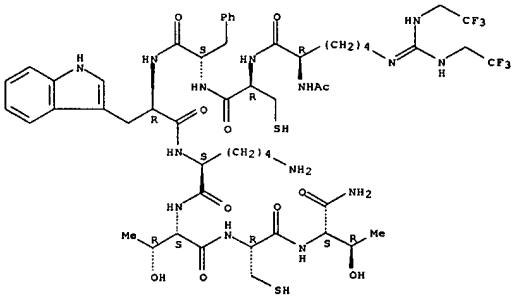
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5968903	A	19991019	US 1998-74117	19980507
WO 9956769	A2	19991111	WO 1999-US10058	19990506
WO 9956769	A3	20001109		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9939754	A1	19991123	AU 1999-39754	19990506
EP 1075273	A2	20010214	EP 1999-922851	19990506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002513769	T2	20020514	JP 2000-546793	19990506
NO 2000005588	A	20010105	NO 2000-5588	20001106

PRIORITY APPLN. INFO.: US 1998-74117 A1 19980507
WO 1999-US10058 W 19990506

OTHER SOURCE(S): MARPAT 131:295567
IT 204387-75-7 204387-76-8 204387-77-9
204387-78-0 204387-79-1 204387-80-4
204387-81-5 204387-89-3 204387-90-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(inhibition of Helicobacter pylori proliferation with somatostatin or a

L14 ANSWER 33 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
somatostatin agonist)
RN 204387-75-7 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-
D-lysyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



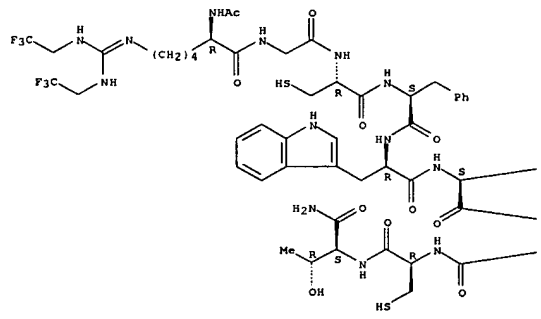
RN 204387-76-8 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-

D-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl- (9CI) (CA INDEX NAME)

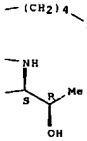
Absolute stereochemistry.

L14 ANSWER 33 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



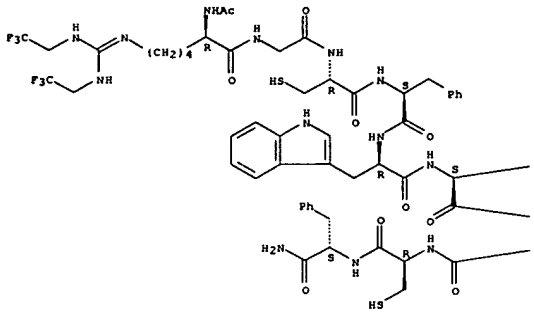
RN 204387-77-9 CAPLUS
CN L-Phenylalaninamide, N2-acetyl-N6-[bis[(2,2,2-

trifluoroethyl)amino]methylene]-D-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl- (9CI) (CA INDEX NAME)

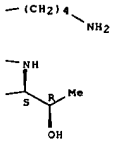
Absolute stereochemistry.

L14 ANSWER 33 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



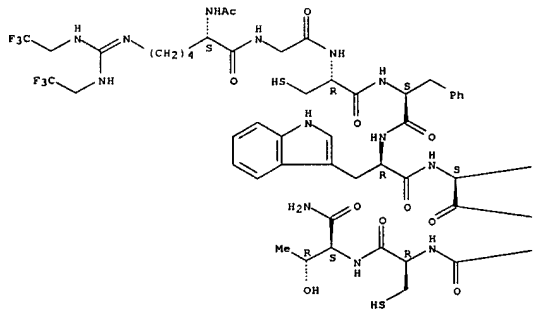
RN 204387-78-0 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-

D-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl-N-ethyl- (9CI) (CA INDEX NAME)

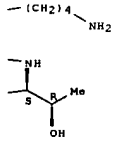
L14 ANSWER 33 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

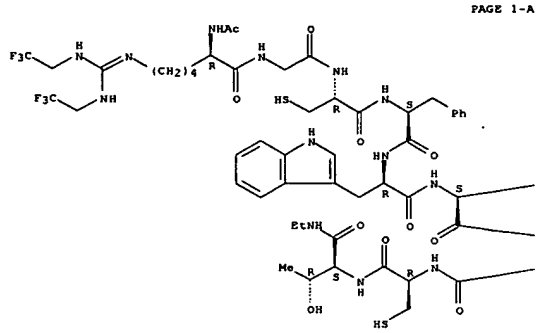


RN 204387-80-4 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-

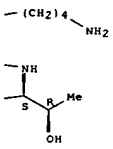
D-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-N6-methyl-L-lysyl-L-

L14 ANSWER 33 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



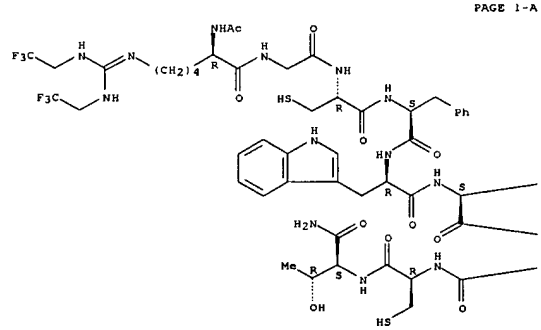
RN 204387-79-1 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-

L-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl- (9CI) (CA INDEX NAME)

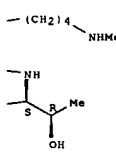
L14 ANSWER 33 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
threonyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



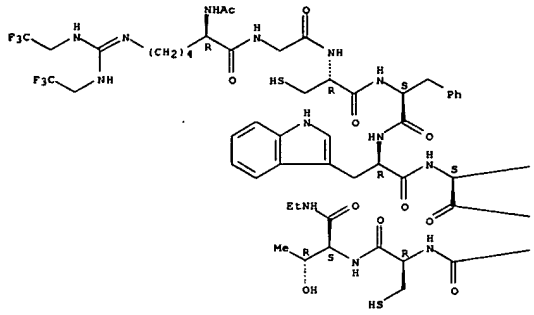
RN 204387-81-5 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-

D-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-N6-methyl-L-lysyl-L-

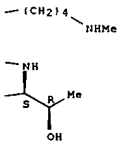
L14 ANSWER 33 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
threonyl-L-cysteinyl-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



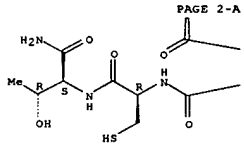
PAGE 1-B



RN 204387-89-3 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-
D-lysyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-D-lysylglycyl-L-

L14 ANSWER 33 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A



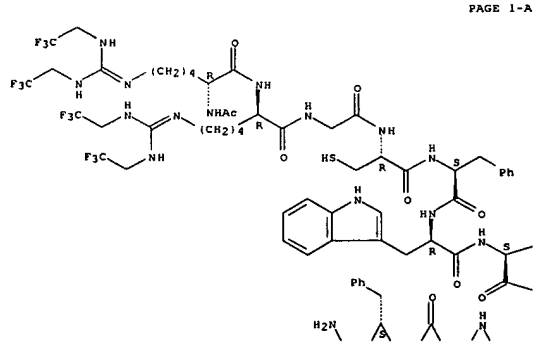
PAGE 2-B

RN 204387-90-6 CAPLUS
CN L-Phenylalaninamide, N2-acetyl-N6-[bis[(2,2,2-
trifluoroethyl)amino]methylene]-D-lysyl-N6-[bis[(2,2,2-

trifluoroethyl)amino]methylene]-D-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-
tryptophyl-L-lysyl-L-threonyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

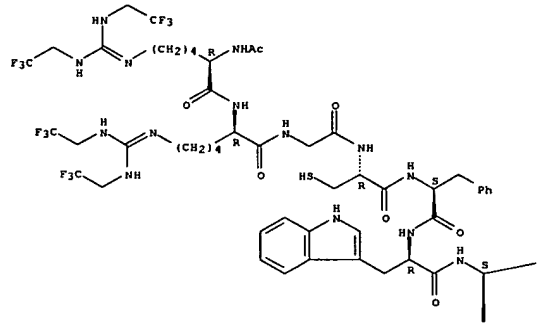
PAGE 1-A



L14 ANSWER 33 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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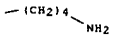
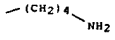


L14 ANSWER 33 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-B



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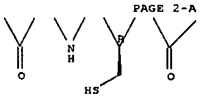


PAGE 2-B

REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L14 ANSWER 34 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN

AB L-Thiocitrulline is a known potent inhibitor of several isoforms of nitric oxide synthase (NOS). To explore the structure-activity relationships (SARs) for this mol. in more depth than has previously been reported, three analogs substituted at the sulfur of the isothioureas have been synthesized. In two of these, the S-substituent was 'tied back' sterically by cyclization to the nitrogen remote from the amino-acid unit. Nδ-(4,5-dihydrothiazol-2-yl)ornithine was identified as an inhibitor of rat inducible and constitutive isoforms of NOS and of a constitutive NOS derived from a human tumor xenograft. Analogous Nδ-(thiazol-2-yl)ornithines were less active, whereas the corresponding Nδ-(oxazol-2-yl)ornithine and Nδ-(pyrimidin-2-yl)ornithine failed completely to inhibit NOS. A new efficient preparation of the critical synthetic intermediate, Nα-Boc-thiocitrulline t-Bu ester, has been developed. Further exploration of the SAR with 2-amino-5-(heterocyclylthio)pentanoic acids (synthesized from 2-(Boc-amino)-5-bromopentanoic acid t-Bu ester), with N-(4-aminobutyl)thiourea and with 2-(4-aminobutylamino)-4,5-dihydrothiazole enabled refinement of the authors previous model for binding of the substrate, L-arginine, and the inhibitors to NOS.

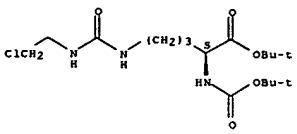
ACCESSION NUMBER: 1999:581396 CAPLUS
DOCUMENT NUMBER: 131:346128
TITLE: Heterocyclic analogues of L-citrulline as inhibitors of the isoforms of nitric oxide synthase (NOS) and identification of Nδ-(4,5-dihydrothiazol-2-yl)ornithine as a potent inhibitor
AUTHOR(S): Ulhaq, S.; Chinje, E. C.; Naylor, M. A.; Jaffar, M.; Stratford, I. J.; Threadgill, M. D.
CORPORATE SOURCE: Department of Pharmacy and Pharmacology, University of Bath, Bath, UK
SOURCE: Bioorganic & Medicinal Chemistry (1999), 7(9), 1787-1796
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 250663-29-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(heterocyclic analogs of L-citrulline as inhibitors of isoforms of nitric oxide synthase (NOS) and identification of Nδ-(dihydrothiazolyl)ornithine as a potent inhibitor)

RN 250663-29-7 CAPLUS
CN L-Ornithine, N5-[[(2-chloroethyl)amino]carbonyl]-N2-[(1,1-dimethylethoxy)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 34 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

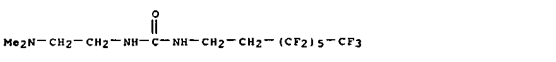
L14 ANSWER 35 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN

AB New perfluoroalkylated gemini amphiphiles were prepared from F-alkylated ureas and carbamates with high yields. Their surface activity was studied as a function of their mol. structure.

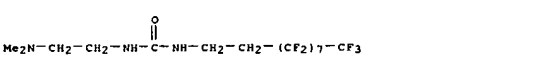
ACCESSION NUMBER: 1999:280612 CAPLUS
DOCUMENT NUMBER: 131:117723
TITLE: Synthesis and surface-active properties of new gemini surfactants with symmetrical perfluoroalkyl groups
AUTHOR(S): Azz-Eddine Jouani, Mohamed; Szonyi, Stephane; Yande Dieng, Samba; Cambon, Aime; Geribaldi, Serge
CORPORATE SOURCE: Laboratoire de Chimie Organique du Fluor, Universite de Nice-Sophia Antipolis, Nice, 06108, Fr.
SOURCE: New Journal of Chemistry (1999), 23(5), 557-562
CODEN: NJCHE5; ISSN: 1144-0546
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 175171-85-4P 175171-87-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in preparation of gemini surfactants with sym. perfluoroalkyl groups)

RN 175171-85-4 CAPLUS
CN Urea, N-[2-(dimethylamino)ethyl]-N'-(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)- (9CI) (CA INDEX NAME)



RN 175171-87-6 CAPLUS
CN Urea, N-[2-(dimethylamino)ethyl]-N'-(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptadecafluorodecyl)- (9CI) (CA INDEX NAME)

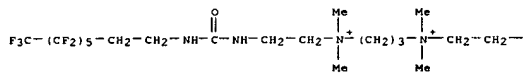


IT 233252-61-4P 233252-62-5P 233252-63-6P 233252-64-7P 233252-65-8P 233252-66-9P
RL: NUU (Other use, unclassified); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(surfactants; preparation and surface-active properties of gemini surfactants with sym. perfluoroalkyl groups)

RN 233252-61-4 CAPLUS
CN 1,3-Propanediaminium, N,N,N',N'-tetramethyl-N,N'-bis[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)amino]carbonyl]amino]ethyl]-, dibromide (9CI) (CA INDEX NAME)

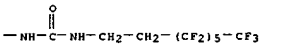
L14 ANSWER 35 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



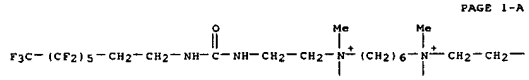
●2 Br⁻

PAGE 1-B



RN 233252-62-5 CAPLUS
CN 1,6-Hexanediaminium, N,N,N',N'-tetramethyl-N,N'-bis[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)amino]carbonyl]amino]ethyl]-, dibromide (9CI) (CA INDEX NAME)

PAGE 1-A

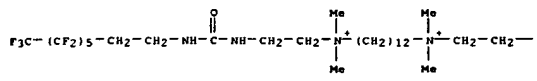


●2 Br⁻

PAGE 1-B

RN 233252-63-6 CAPLUS
CN 1,12-Dodecanediaminium, N,N,N',N'-tetramethyl-N,N'-bis[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)amino]carbonyl]amino]ethyl]-, dibromide (9CI) (CA INDEX NAME)

PAGE 1-A



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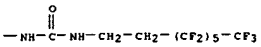
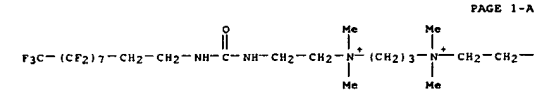
PAGE 1-B



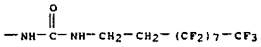
RN 233252-64-7 CAPLUS
CN 1,3-Propanediaminium,
N,N'-bis[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptadecafluorodecyl)amino]carbonyl]amino]ethyl]-N,N,N',N'-tetramethyl-, dibromide (9CI) (CA INDEX NAME)

PAGE 1-A



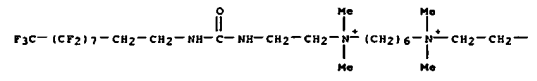
●2 Br⁻

PAGE 1-B



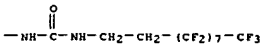
RN 233252-65-8 CAPLUS
CN 1,6-Hexanediaminium, N,N'-bis[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptadecafluorodecyl)amino]carbonyl]amino]ethyl]-N,N,N',N'-tetramethyl-, dibromide (9CI) (CA INDEX NAME)

PAGE 1-A



●2 Br⁻

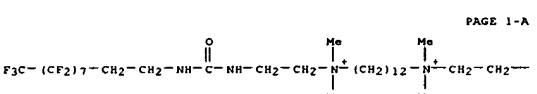
PAGE 1-B



RN 233252-66-9 CAPLUS
CN 1,12-Dodecanediaminium,
N,N'-bis[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,1

0-heptadecafluorodecyl)amino]carbonyl]amino]ethyl]-N,N,N',N'-tetramethyl-, dibromide (9CI) (CA INDEX NAME)

PAGE 1-A



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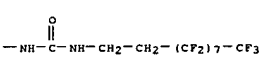
PAGE 1-B



REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

AB The present invention relates to a method of treating insulin resistance or Syndrome X. The method includes the step of administering a therapeutically effective amount of a somatostatin or a somatostatin agonist
to said patient. The invention also includes pharmaceutical compns. comprising a somatostatin or somatostatin agonist and the use of such products in the preparation of such compns.

ACCESSION NUMBER: 1998:764305 CAPLUS
DOCUMENT NUMBER: 130:20992
TITLE: Somatostatin and somatostatin agonists for treating insulin insensitivity and Syndrome X
INVENTOR(S): Cawthorne, Michael Anthony; Liu, Yong-Ling; Sennitt, Matthew V.
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (SCRAS), Fr.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9851332	A1	19981119	WO 1998-EP3000	19980513
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2289499	AA	19981119	CA 1998-2289499	19980513
AU 9880198	A1	19981208	AU 1998-80198	19980513
EP 980253	A1	20000223	EP 1998-928308	19980513
EP 980253	B1	20040331		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
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PT 980253	T	20040831	PT 1998-928308	19980513
ES 2216290	T3	20041016	ES 1998-928308	19980513
HK 1026843	A1	20041231	HK 2000-105286	20000822
US 2004072734	A1	20040415	US 2003-369143	20030218
PRIORITY APPLN. INFO.:			US 1997-854943 A	19970513
			WO 1998-EP3000 W	19980513
			US 2000-423578 B3	20000223

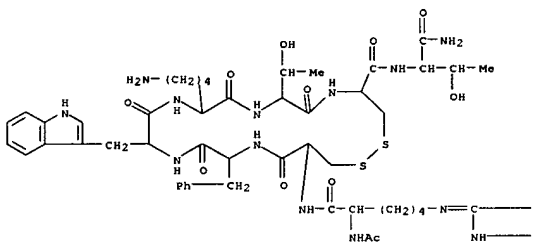
OTHER SOURCE(S): MARPAT 130:20992

IT **129357-06-8 129357-07-9 129357-08-0 129357-09-1 129357-10-4 129357-11-5 129357-17-1 129385-19-9 129385-22-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(somatostatin and somatostatin agonists for treating insulin

insensitivity and Syndrome X)

RN 129357-06-8 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-D-lysyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl-, cyclic (2→7)-disulfide (9CI) (CA INDEX NAME)

PAGE 1-A



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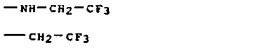

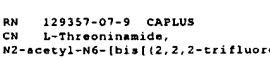

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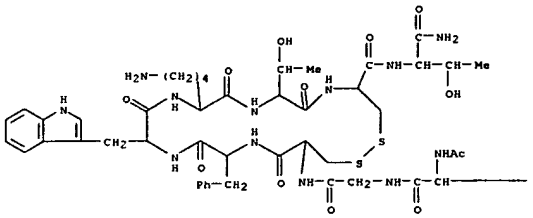

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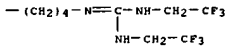

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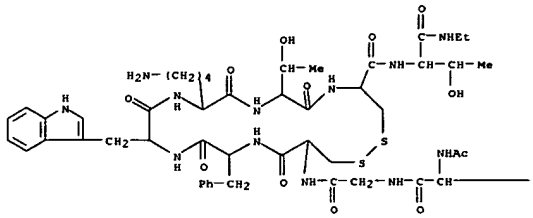

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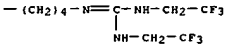

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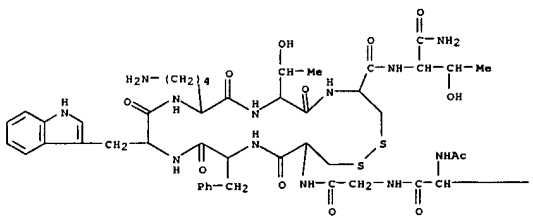

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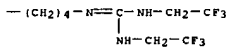

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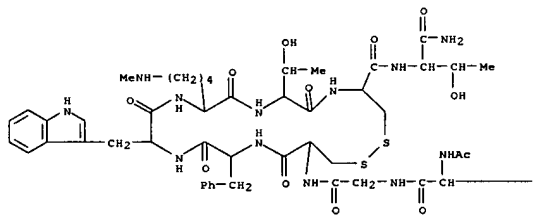

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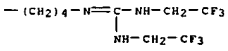

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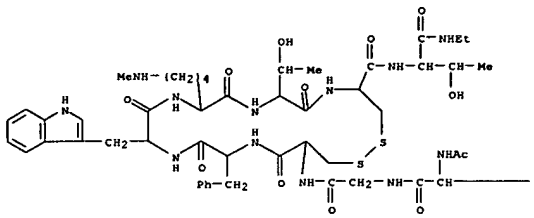

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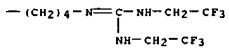

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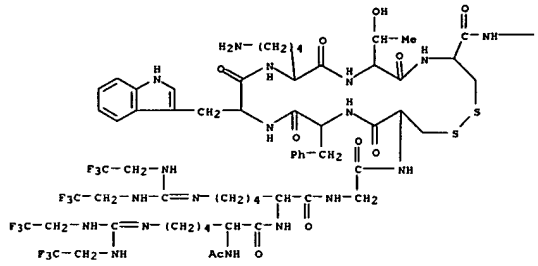

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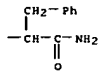

RN 129385-19-9 CAPLUS
CN L-Phenylalaninamide, N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-D-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl-, cyclic (3→8)-disulfide (9CI) (CA INDEX NAME)

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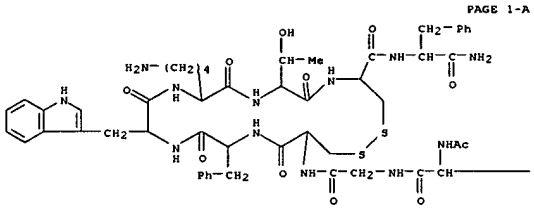

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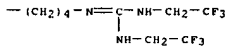

RN 129385-22-4 CAPLUS
CN L-Threoninamide, N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-D-lysyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-D-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl-, cyclic (4→9)-disulfide (9CI) (CA INDEX NAME)

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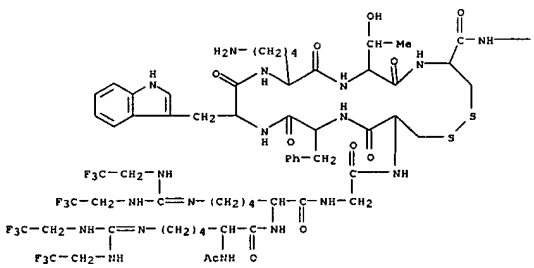

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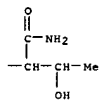

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AB The present invention relates to a method of decreasing body weight in a patient. The method includes the step of administering a therapeutically effective amount of a somatostatin or a somatostatin agonist to said patient. A pharmaceutical/cosmetic composition comprises the somatostatin or somatostatin agonist. Such products are used to prepare such compns. for the reduction of body weight in a human or mammalian animal.

ACCESSION NUMBER: 1998:764304 CAPLUS
DOCUMENT NUMBER: 130:20991
TITLE: Somatostatin and somatostatin agonists for decreasing body weight
INVENTOR(S): Cawthorne, Michael Anthony; Liu, Yong-Ling; Sennitt, Matthew V.
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (SCRAS), Fr.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9851331	A1	19981119	WO 1998-EP2999	19980513
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US 7034003	B1	20060425	US 2000-423684	20000320
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			WO 1998-EP2999 W	19980513

OTHER SOURCE(S): MARPAT 130:20991

IT 129357-06-8 129357-07-9 129357-08-0
129357-09-1 129357-10-4 129357-11-5
129357-17-1 129385-19-9 129385-22-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(somatostatin and somatostatin agonists for decreasing body weight)

RN 129357-06-8 CAPLUS
CN L-Threoninamide,
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L14 ANSWER 37 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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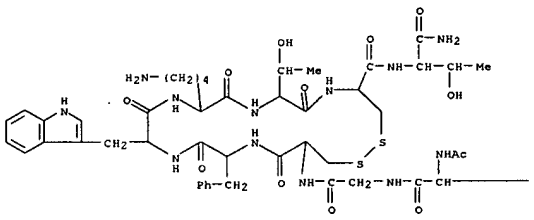

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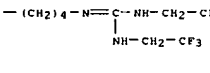

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D-lysyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl-, cyclic (2→7)-disulfide (9CI) (CA INDEX NAME)

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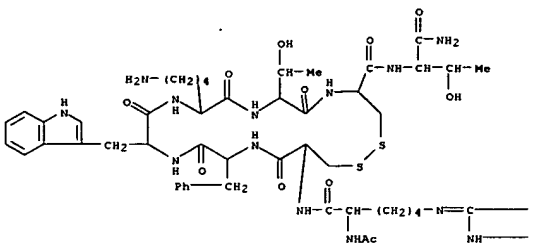

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L14 ANSWER 37 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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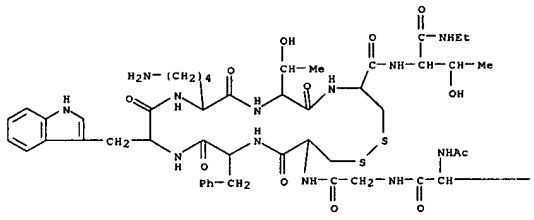

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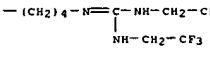

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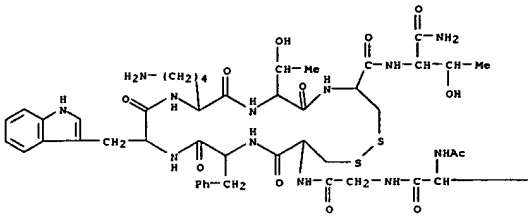

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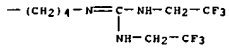

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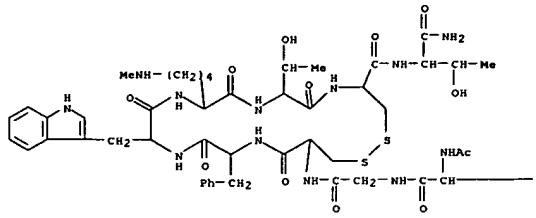

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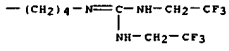

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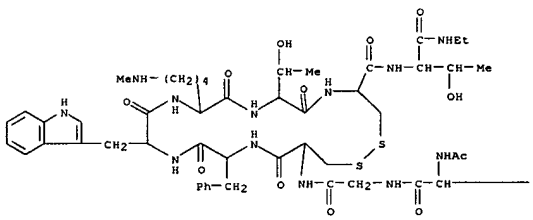

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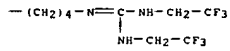

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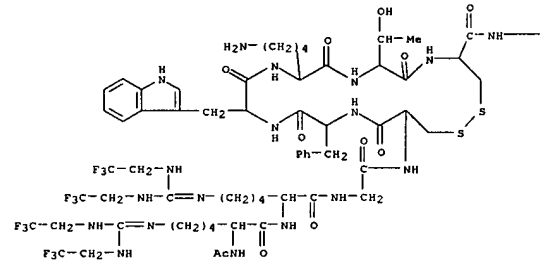

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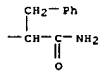

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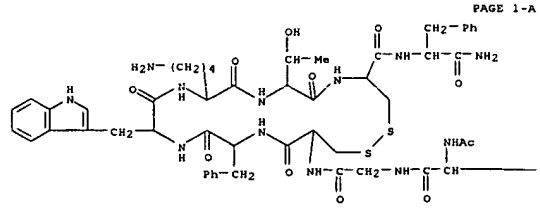

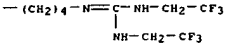

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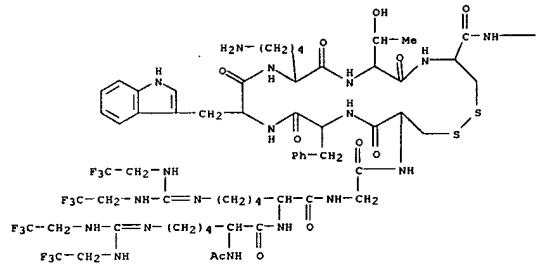
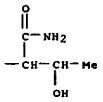




REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L14 ANSWER 38 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN

AB The title compds. R1R2NC(O)AX [R1, R2 = C10 - C26 hydrocarbyl; A = hydrocarbylene (further details on said hydrocarbylene are given); X = NHC(:NR3)NHR4, etc.; R3, R4 = hydrocarbyl, etc.; a proviso is given] are prepared In an in vivo gene transfer test, the transfection efficiency obtained with 2-guanidino-N,N-dioctadeca-9-enylpropionamide was greater than that achieved with Dotma.

ACCESSION NUMBER: 1998:379115 CAPLUS
DOCUMENT NUMBER: 129:81526
TITLE: Preparation of cationic lipids as materials for liposomes for gene transfer
INVENTOR(S): Belloni, Paula Nanette; Hirshfeld, Donald Roy; Rink, John Otto; Nester, John Joseph; Peltz, Gary Allen
PATENT ASSIGNEE(S): F. Hoffmann-la Roche A.-G., Switz.
SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

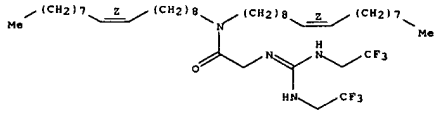
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10152461	A2	19980609	JP 1997-285925	19971020
CA 2217550	AA	19980422	CA 1997-2217550	19971007
EP 846680	A1	19980610	EP 1997-117934	19971016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6034137	A	20000307	US 1997-954428	19971020
CN 1180697	A	19980506	CN 1997-121514	19971021
CN 1068585	B	20010718		
BR 9705117	A	19980915	BR 1997-5117	19971022
PRIORITY APPLN. INFO.:			US 1996-29581P	P 19961022
			US 1997-49922P	P 19970618

OTHER SOURCE(S): MARPAT 129:81526

IT **209396-80-5P 209396-88-3P 209397-16-0P 209397-24-0P 209397-35-3P 209397-43-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cationic lipids as materials for liposomes)

RN 209396-80-5 CAPLUS
CN Acetamide, N,N-di-(9Z)-9-octadecenyl-2-[[[(2,2,2-trifluoroethyl)amino][(2,2,2-trifluoroethyl)imino]methyl]amino]- (9CI) (CA INDEX NAME)

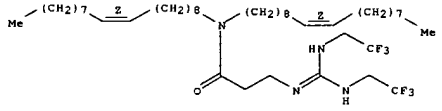
Double bond geometry as shown.



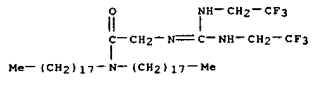
RN 209396-88-3 CAPLUS
CN Propanamide, N,N-di-(9Z)-9-octadecenyl-3-[[[(2,2,2-

trifluoroethyl)amino][(2,2,2-trifluoroethyl)imino]methyl]amino]- (9CI) (CA INDEX NAME)

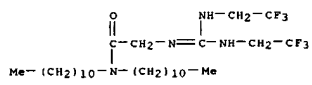
Double bond geometry as shown.



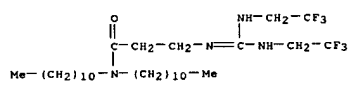
RN 209397-16-0 CAPLUS
CN Acetamide, 2-[[bis[(2,2,2-trifluoroethyl)amino]methylene]amino]-N,N-dioctadecyl- (9CI) (CA INDEX NAME)



RN 209397-24-0 CAPLUS
CN Acetamide, 2-[[bis[(2,2,2-trifluoroethyl)amino]methylene]amino]-N,N-diundecyl- (9CI) (CA INDEX NAME)

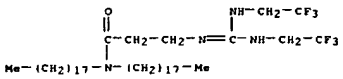


RN 209397-35-3 CAPLUS
CN Propanamide, 3-[[bis[(2,2,2-trifluoroethyl)amino]methylene]amino]-N,N-diundecyl- (9CI) (CA INDEX NAME)



RN 209397-43-3 CAPLUS
CN Propanamide, 3-[[bis[(2,2,2-trifluoroethyl)amino]methylene]amino]-N,N-dioctadecyl- (9CI) (CA INDEX NAME)

L14 ANSWER 38 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

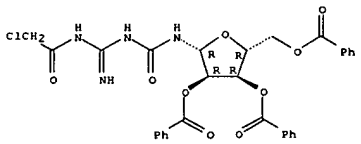


L14 ANSWER 39 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN

AB Protected 6-substituted benzyl, Ph and chloromethyl derivs. of 5-azacytidine have been prepared by addition of phenylacetyl-, benzoyl- or (chloroacetyl)guanidine to 2,3,5-tri-O-benzoyl-β-D-ribosyl isocyanate and subsequent silylation-mediated cyclization of the obtained acyl(carbamoyl)guanidines. 4-Amino-6-phenyl-1,3,5-triazin-2(1H)-one was obtained by condensation of carbamoylguanidine with Me benzoate in presence of methanolic sodium methoxide or by condensation of carbamoylguanidine with tri-Et orthobenzoate in N,N-dimethylformamide. Stannic chloride catalyzed condensation of silylated 6-Ph derivative with 1-O-acetyl-2,3,5-tri-O-benzoyl-β-D-ribose in 1,2-dichloroethane afforded a 1.2:1 mixture of N1 and N3 nucleosides, resp. Methanolysis of the protected compds. gave the resp. free nucleosides. The latter compds. inhibited the growth of bacteria E. coli B to a much lower extent than the unsubstituted 5-azacytidine.

ACCESSION NUMBER: 1998:290462 CAPLUS
DOCUMENT NUMBER: 128:321852
TITLE: Synthesis of some 6-substituted 5-azacytidines
AUTHOR(S): Hanna, Naeem B.; Masojidkova, Milena; Fiedler, Pavel; Piskala, Alois
CORPORATE SOURCE: Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic, Prague, 166 10, Czech Rep.
SOURCE: Collection of Czechoslovak Chemical Communications (1998), 63(2), 222-230
CODEN: CCCCAK; ISSN: 0010-0765
PUBLISHER: Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 207116-65-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of some 6-substituted azacytidines)
RN 207116-65-2 CAPLUS
CN Acetamide, 2-chloro-N-[imino[[[(2,3,5-tri-O-benzoyl-β-D-ribofuranosyl)amino]carbonyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 39 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L14 ANSWER 40 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN

AB The present invention relates to a method of inhibiting fibrosis in a patient. The method comprises administering a therapeutically effective amount of a somatostatin, a somatostatin agonist or a pharmaceutically acceptable salt thereof to said patient.

ACCESSION NUMBER: 1998:163467 CAPLUS
DOCUMENT NUMBER: 128:226683
TITLE: Method of inhibiting fibrosis with a somatostatin agonist
INVENTOR(S): Culler, Michael D.; Kasprzyk, Philip G.
PATENT ASSIGNEE(S): Biomeasure Incorporated, USA; Culler, Michael D.; Kasprzyk, Philip G.
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808529	A1	19980305	WO 1997-US14154	19970827
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2264309	AA	19980305	CA 1997-2264309	19970827
AU 9741490	A1	19980319	AU 1997-41490	19970827
AU 726731	B2	20001116		
EP 938328	A1	19990901	EP 1997-939392	19970827
EP 938328	B1	20060412		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1229357	A	19990922	CN 1997-197671	19970827
JP 2001500483	T2	20010116	JP 1998-511678	19970827
EP 1574219	A2	20050914	EP 2005-76124	19970827
EP 1574219	A3	20060426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
ZA 9707783	A	19990301	ZA 1997-7783	19970829
US 6268342	B1	20010731	US 1999-254097	19990510
US 2005222025	A1	20051006	US 2004-935593	20040907

PRIORITY APPLN. INFO.:

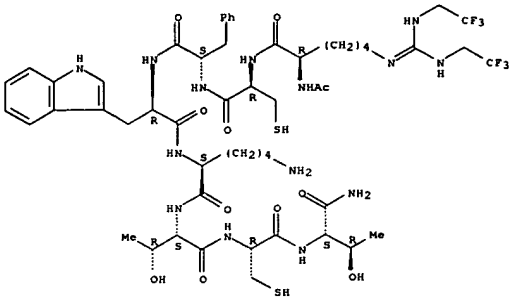
US 1996-705790	A2	19960830
EP 1997-939392	A3	19970827
WO 1997-US14154	W	19970827
US 1999-254097	A3	19990510
US 2001-761605	A3	20010116

OTHER SOURCE(S): MARPAT 128:226683
IT 204387-75-7 204387-76-8 204387-77-9
204387-78-0 204387-79-1 204387-80-4
204387-81-5 204387-89-3 204387-90-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study);
USES
(Uses)
(method of inhibiting fibrosis with a somatostatin agonist)

RN 204387-75-7 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-
D-lysyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-
cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

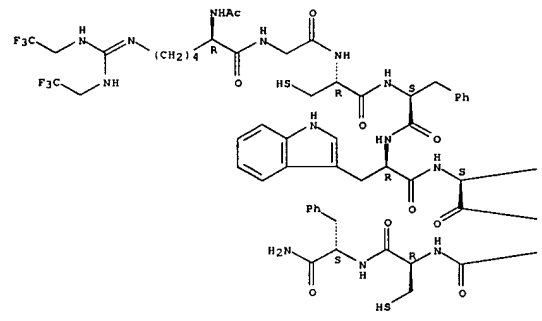


RN 204387-76-8 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-

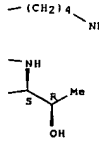
D-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-
cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



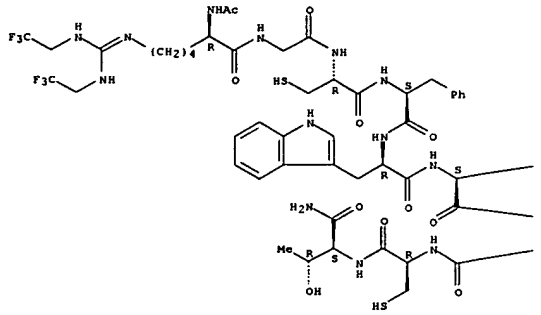
PAGE 1-B



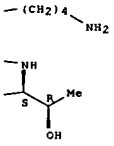
RN 204387-78-0 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-

D-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-
cysteinyl-N-ethyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



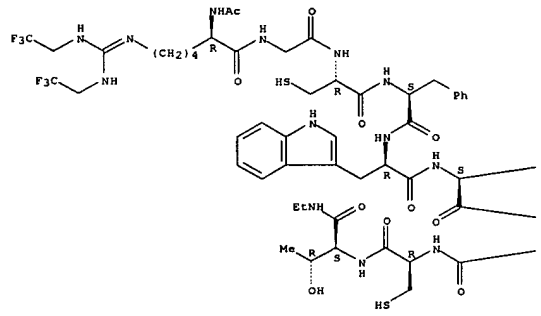
RN 204387-77-9 CAPLUS
CN L-Phenylalaninamide, N2-acetyl-N6-[bis[(2,2,2-

trifluoroethyl)amino]methylene]-D-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-
tryptophyl-L-lysyl-L-threonyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

PAGE 1-A



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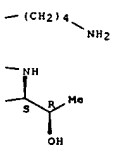


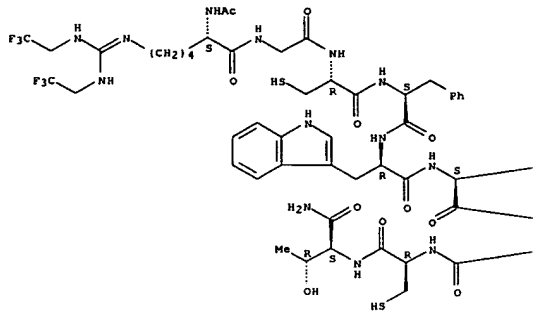
RN 204387-79-1 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-

L-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-
cysteinyl- (9CI) (CA INDEX NAME)

L14 ANSWER 40 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.

PAGE 1-A



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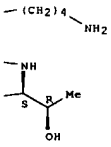


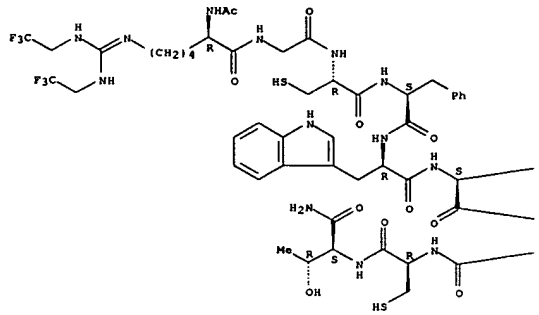
RN 204387-80-4 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-

D-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-N6-methyl-L-lysyl-L-

L14 ANSWER 40 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
threonyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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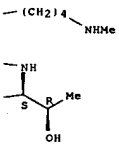


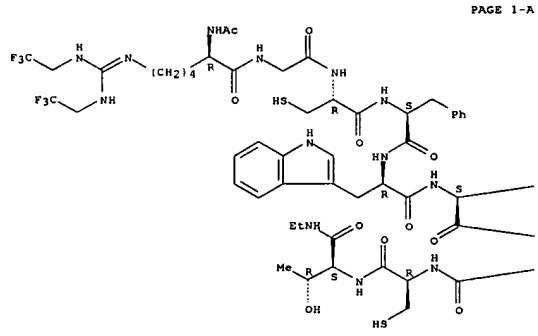
RN 204387-81-5 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-

D-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-N6-methyl-L-lysyl-L-

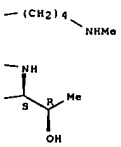
L14 ANSWER 40 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
threonyl-L-cysteinyl-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

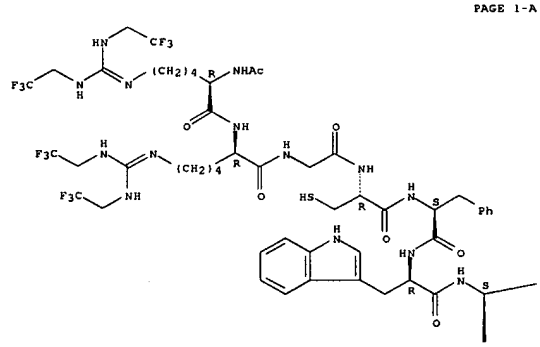


RN 204387-89-3 CAPLUS
CN L-Threoninamide,
N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-
D-lysyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-D-lysylglycyl-L-

L14 ANSWER 40 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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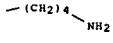
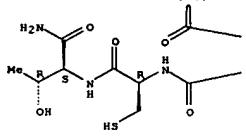


PAGE 2-A



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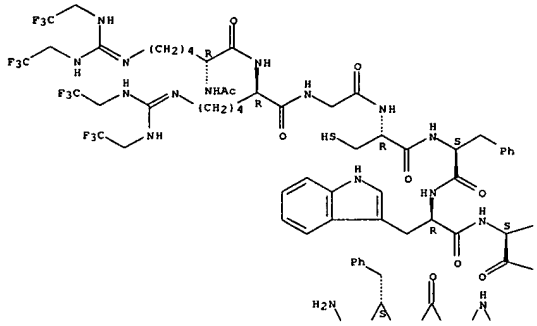
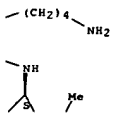
RN 204387-90-6 CAPLUS
CN L-Phenylalaninamide, N2-acetyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-D-lysyl-N6-[bis[(2,2,2-trifluoroethyl)amino]methylene]-D-lysylglycyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

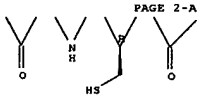
PAGE 1-A



PAGE 1-B



PAGE 2-A



PAGE 2-B

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

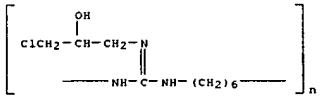
FORMAT

AB Title only translated.

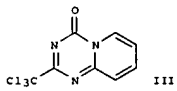
ACCESSION NUMBER: 1997:773189 CAPLUS
DOCUMENT NUMBER: 127:360165
TITLE: Poly(N-2-hydroxypropylhexamethyleneguanidin) as reagent for preparing cationic starch used in paper industry
INVENTOR(S): Lapenko, Viktor L.; Slivkin, Aleksej I.; Suntsova, Nina S.; Polevaya, Valentina Ivanovna; Svitelskij, Vasilij Petrovich; Milshtejn, Aleksandr Davidovich; Zhukotskaya, Larisa Ivanovna
PATENT ASSIGNEE(S): Voronezhskij Gosudarstvennyj Universitet, Russia
SOURCE: Russ. From: Izobreteniya 1997, (19), 314.
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2083601	C1	19970710	RU 1991-5020361	19910819
PRIORITY APPLN. INFO.:			SU 1991-5020361 A	19910819

IT 198491-70-2DP, reaction products with starch
RL: SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(poly(hexamethyleneguanidin) derivative as reagent for preparing cationic starch used in paper industry)
RN 198491-70-2 CAPLUS
CN Poly[imino[[(3-chloro-2-hydroxypropyl)imino]methylene]imino-1,6-hexanediyl] (9CI) (CA INDEX NAME)



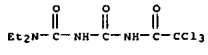
GI



III

AB The title compds. (I) are obtained by reaction of perchloroethyl isocyanate with dialkylcyanamides. Reactions of I with cyclohexylamine and with 2-pyridinamine (II) were examined The reaction of I with II gave pyrido[1,2-a]triazin-4-one III, which was subjected to x-ray anal.

ACCESSION NUMBER: 1996:176018 CAPLUS
DOCUMENT NUMBER: 124:342625
TITLE: Synthesis and some transformations of N,N'-bis(1-chloroalkylidene)urea derivatives
AUTHOR(S): Matveev, Yu. I.; Sereda, S. V.; Samarai, L. I.
CORPORATE SOURCE: Inst. Org. Khim., NAN Ukr, Kiev, Ukraine
SOURCE: Ukrainskii Khimicheskii Zhurnal (Russian Edition) (1995), 61(3), 37-41
CODEN: UKZHAU; ISSN: 0041-6045
PUBLISHER: Institut Obshchei i Neorganicheskoi Khimii NAN Ukrainy
DOCUMENT TYPE: Journal
LANGUAGE: Russian
IT 176684-05-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 176684-05-2 CAPLUS
CN Acetamide, 2,2,2-trichloro-N-[[[(diethylamino)carbonyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



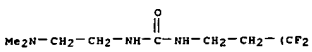
L14 ANSWER 43 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN

AB The synthesis of new fluorine-containing double-chain surfactants from di- and tri-substituted ureas is described; the vesicle-forming behavior is investigated for some surfactants. Under bath sonication, mixed-chain surfactants form spherical unilamellar vesicles, while surfactants possessing two perfluoroalkylated segments give polydispersed vesicle populations.

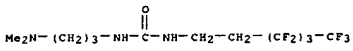
ACCESSION NUMBER: 1996:175987 CAPLUS
DOCUMENT NUMBER: 124:235567
TITLE: Synthesis and aggregation properties of new fluorine-containing double-chain amphiphiles derived from di- and tri-substituted ureas
AUTHOR(S): Jouani, M. A.; Szoenyi, S.; Trabelsi, H.; Dieng, S. Y.; Cambon, A.; Watzke, H. J.
CORPORATE SOURCE: Lab. Chimie Org. Fluor, Univ. Nice Sophia Antipolis, Nice, 06108, Fr.
SOURCE: Supramolecular Science (1995), 2(2), 117-23
CODEN: SUSCFX; ISSN: 0968-5677
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 175171-83-2P 175171-84-3P 175171-85-4P
175171-86-5P 175171-87-6P 175171-88-7P
175171-96-7P 175171-97-8P 175171-98-9P
175171-99-0P 175172-00-6P 175172-01-7P
175172-02-8P 175172-03-9P 175172-04-0P
175172-05-1P 175172-06-2P 175172-07-3P
175172-08-4P 175172-09-5P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and aggregation properties of new fluorine-containing double-chain amphiphilic surfactants)

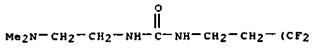
RN 175171-83-2 CAPLUS
CN Urea, N-[2-(dimethylamino)ethyl]-N'-(3,3,4,4,5,5,6,6,6-nonafluorohexyl)- (9CI) (CA INDEX NAME)



RN 175171-84-3 CAPLUS
CN Urea, N-[3-(dimethylamino)propyl]-N'-(3,3,4,4,5,5,6,6,6-nonafluorohexyl)- (9CI) (CA INDEX NAME)

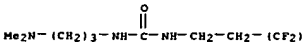


RN 175171-85-4 CAPLUS
CN Urea, N-[2-(dimethylamino)ethyl]-N'-(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)- (9CI) (CA INDEX NAME)

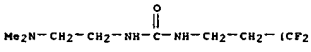


L14 ANSWER 43 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

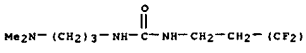
RN 175171-86-5 CAPLUS
CN Urea, N-[3-(dimethylamino)propyl]-N'-(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)- (9CI) (CA INDEX NAME)



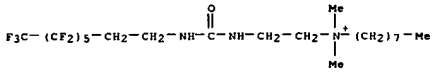
RN 175171-87-6 CAPLUS
CN Urea, N-[2-(dimethylamino)ethyl]-N'-(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptadecafluorodecyl)- (9CI) (CA INDEX NAME)



RN 175171-88-7 CAPLUS
CN Urea, N-[3-(dimethylamino)propyl]-N'-(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptadecafluorodecyl)- (9CI) (CA INDEX NAME)

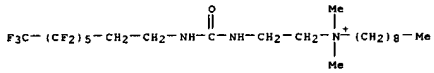


RN 175171-96-7 CAPLUS
CN 1-Octanaminium, N,N-dimethyl-N-[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)amino]carbonyl]amino]ethyl]-, bromide (9CI) (CA INDEX NAME)

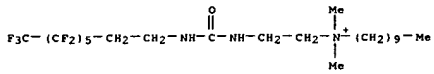


RN 175171-97-8 CAPLUS
CN 1-Nonanaminium, N,N-dimethyl-N-[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)amino]carbonyl]amino]ethyl]-, bromide (9CI) (CA INDEX NAME)

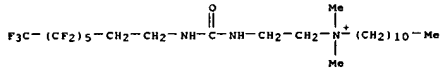
L14 ANSWER 43 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 175171-98-9 CAPLUS
CN 1-Decanaminium, N,N-dimethyl-N-[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)amino]carbonyl]amino]ethyl]-, bromide (9CI) (CA INDEX NAME)

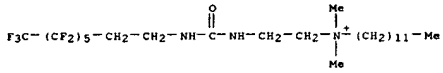


RN 175171-99-0 CAPLUS
CN 1-Undecanaminium, N,N-dimethyl-N-[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)amino]carbonyl]amino]ethyl]-, bromide (9CI) (CA INDEX NAME)

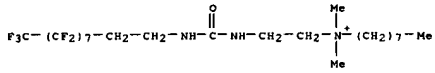


RN 175172-00-6 CAPLUS
CN 1-Dodecanaminium, N,N-dimethyl-N-[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)amino]carbonyl]amino]ethyl]-, bromide (9CI) (CA INDEX NAME)

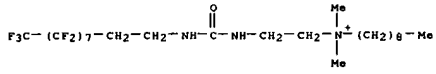
L14 ANSWER 43 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



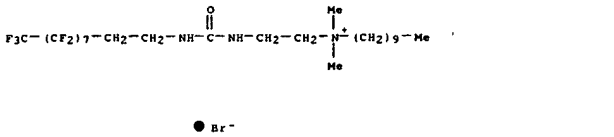
RN 175172-01-7 CAPLUS
CN 1-Octanaminium, N-[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptadecafluorodecyl)amino]carbonyl]amino]ethyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)



RN 175172-02-8 CAPLUS
CN 1-Nonanaminium, N-[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptadecafluorodecyl)amino]carbonyl]amino]ethyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)

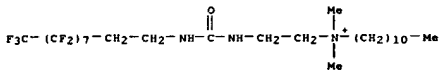


RN 175172-03-9 CAPLUS
CN 1-Decanaminium, N-[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptadecafluorodecyl)amino]carbonyl]amino]ethyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)



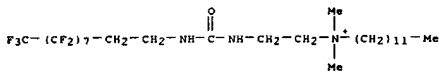
● Br^-

RN 175172-04-0 CAPLUS
CN 1-Undecanaminium, N-[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,8,9,9,10,10,10-heptadecafluorodecyl)amino]carbonyl]amino]ethyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)



● Br^-

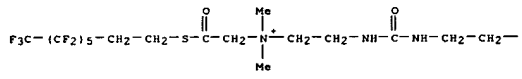
RN 175172-05-1 CAPLUS
CN 1-Dodecanaminium, N-[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptadecafluorodecyl)amino]carbonyl]amino]ethyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)



● Br^-

RN 175172-06-2 CAPLUS
CN Ethanaminium, N,N-dimethyl-N-[[(3,3,4,4,5,5,6,6,6-nonafluorohexyl)thio]methyl]-2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)amino]carbonyl]amino]-, bromide (9CI) (CA INDEX NAME)

PAGE 1-A



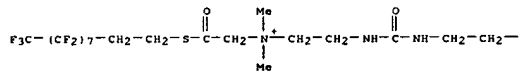
● Br^-

PAGE 1-B

$-(CF_2)_5-CF_3$

RN 175172-09-5 CAPLUS
CN Ethanaminium, 2-[(3,3,4,4,5,5,6,6,7,7,8,8,8,9,9,10,10,10-heptadecafluorodecyl)thio]-N,N-dimethyl-2-oxo-N-[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)amino]carbonyl]amino]ethyl]-, bromide (9CI) (CA INDEX NAME)

PAGE 1-A

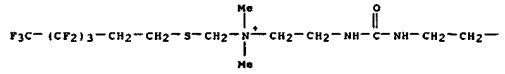


● Br^-

PAGE 1-B

$-(CF_2)_5-CF_3$

PAGE 1-A



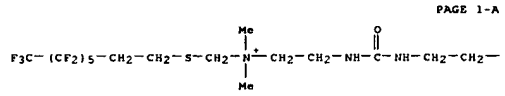
● Br^-

PAGE 1-B

$-(CF_2)_5-CF_3$

RN 175172-07-3 CAPLUS
CN Ethanaminium, N,N-dimethyl-2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)amino]carbonyl]amino]-N-[[(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)thio]methyl]-, bromide (9CI) (CA INDEX NAME)

PAGE 1-A

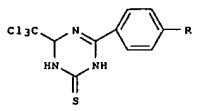


● Br^-

PAGE 1-B

$-(CF_2)_5-CF_3$

RN 175172-08-4 CAPLUS
CN Ethanaminium, N,N-dimethyl-2-oxo-N-[2-[[[(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)amino]carbonyl]amino]ethyl]-2-[(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)thio]-, bromide (9CI) (CA INDEX NAME)



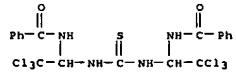
I

AB A straightforward preparation of Cl3CCH(NCS)N:C(OMe)C6H4R-4 (R = H, Me) from chloral amides was developed. The azabutenes were used for preparation of s-triazine-2-thiones such as I (same R). More simple reagents, Cl3CCH(NCS)NHCOC6H4R, were unsuitable for such syntheses.

ACCESSION NUMBER: 1995:906907 CAPLUS
DOCUMENT NUMBER: 124:117247
TITLE: 1-Aryl-4,4,4-trichloro-3-isothiocyanato-1-methoxy-2-aza-1-butenes: new reagents for heterocyclizations
AUTHOR(S): Zyabrev, V. S.; Kiselev, V. V.; Kharchenko, A. V.; Drach, B. S.
CORPORATE SOURCE: Inst. Bioorg. Khim. Neftekhim., Kiev, Ukraine
SOURCE: Ukrainskii Khimicheskii Zhurnal (Russian Edition) (1994), 60(11-12), 854-8
CODEN: UKZHAU; ISSN: 0041-6045
PUBLISHER: Institut Obshchei i Neorganicheskoi Khimii NAN Ukrainy
DOCUMENT TYPE: Journal
LANGUAGE: Russian

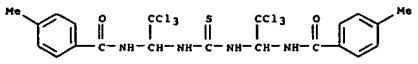
IT **172986-15-1P 172986-16-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and heterocyclization reactions of 1-aryl-4,4,4-trichloro-3-isothiocyanato-1-methoxy-2-aza-1-butenes)

RN 172986-15-1 CAPLUS
CN Benzamide, N,N'-[carbonothioylbis[imino(2,2,2-trichloroethylidene)]]bis- (9CI) (CA INDEX NAME)



RN 172986-16-2 CAPLUS
CN Benzamide, N,N'-[carbonothioylbis[imino(2,2,2-trichloroethylidene)]]bis[4-methyl- (9CI) (CA INDEX NAME)

L14 ANSWER 44 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



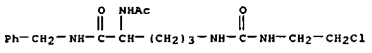
L14 ANSWER 45 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN

AB The in vitro cytotoxicity and differential cellular sensitivity of a series of new N1-Me, N1-allyl, N1-2-chloroethyl and N1-propargyl urea derivs. of diamino acids were determined in the National Cancer Institute's primary antitumor drug screen. The compds. tested showed an in vitro anticancer activity similar to commercialized nitrosoureas such as CCNU, BCNU, MeCCNU, chlorozotocin, streptozotocin and PCNU. The alkylating moiety of the ureas seems to play a role in the general selectivity of the authors compds. The N1-Me and N1-2-chloroethyl urea derivs. are more selective for central nervous system cell lines and the N1-allyl urea derivs. are more selective for lung cancer cell lines. The N1-propargyl ureas did not show any particular selectivity in the 60 human cell lines tested.

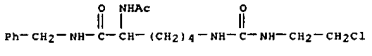
ACCESSION NUMBER: 1995:768762 CAPLUS
DOCUMENT NUMBER: 123:246041
TITLE: In vitro cytotoxicity and differential cellular sensitivity of derivatives of diamino acids. 1. N1-methyl, N1-allyl, N1-(2-chloroethyl) and N1-propargyl ureas
AUTHOR(S): Dulude, Helene; Salvador, Romano; Gallant, Gilles
CORPORATE SOURCE: Fac. Pharm., Univ. Montreal, Montreal, QC, H3C 3J7, Can.
SOURCE: Anticancer Research (1995), 15(3), 847-52
CODEN: ANTRD4; ISSN: 0250-7005
PUBLISHER: Anticancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

IT **168843-86-5 168843-89-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in vitro cytotoxicity and differential cellular sensitivity of N1-Me and N1-allyl and (2-chloroethyl) and N1-propargyl urea derivs. of diamino acids against human tumor cells in relation to lipophilicity)

RN 168843-86-5 CAPLUS
CN Pentanamide, 2-(acetylamino)-5-[[[(2-chloroethyl)amino]carbonyl]amino]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 168843-89-8 CAPLUS
CN Hexanamide, 2-(acetylamino)-6-[[[(2-chloroethyl)amino]carbonyl]amino]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L14 ANSWER 46 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN

AB The title fluorine-containing compds. are isocyanate, amine, urea, and urethane compds. containing perfluoroalkyl or perfluoro polyoxyalkylene group and with mol. weight 1000-50000, and are used as a component in a lubricant for obtaining magnetic recording material with smoother surface. Magnetic recording material is prepared by covering a base material with a recording membrane and then a protecting membrane, and applying a lubricant containing the above fluorine-containing compds. on top of the protecting membrane to form a lubricant layer. One lubricant comprised a perfluorocarbon solvent and 0.05 weight% of perfluoroalkyl isocyanate made from a carboxylic acid with a perfluoroalkyl group having mol. weight 2200.

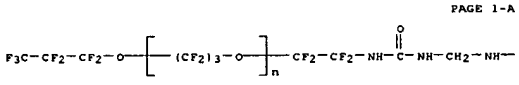
ACCESSION NUMBER: 1995:753535 CAPLUS
DOCUMENT NUMBER: 123:145039
TITLE: Fluorine-containing compounds, lubricants for magnetic recording material, and manufacture of the recording material
INVENTOR(S): Yoshizawa, Che; Miura, Toshimasa; Miwa, Hiroaki; Sudo, Ryoichi
PATENT ASSIGNEE(S): Hitachi Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 32 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07138359	A2	19950530	JP 1993-283663	19931112
PRIORITY APPLN. INFO.:			JP 1993-283663	19931112

IT **166893-03-4P 166893-11-4P 166907-46-6P**
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(fluorine-containing compds. for lubricants for magnetic recording material)

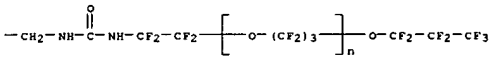
RN 166893-03-4 CAPLUS
CN Poly[oxy(1,1,2,2,3,3-hexafluoro-1,3-propanediyl)], α,α'-(1,1,2,2,12,12,13,13-octafluoro-4,10-dioxo-3,5,7,9,11-pentaazatridecane-1,13-diyl)bis[ω-(heptafluoropropoxy)- (9CI) (CA INDEX NAME)

PAGE 1-A



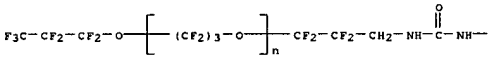
L14 ANSWER 46 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-B

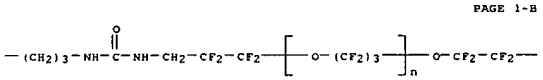


RN 166893-11-4 CAPLUS
CN Poly[oxy(1,1,2,2,3,3-hexafluoro-1,3-propanediyl)], α,α'-[1,3-propanediylbis[iminocarbonylimino(1,1,2,2-tetrafluoro-3,1-propanediyl)]]bis[ω-(heptafluoropropoxy)- (9CI) (CA INDEX NAME)

PAGE 1-A



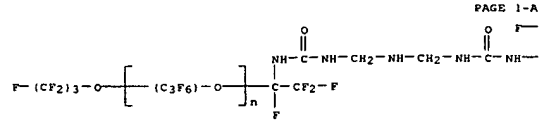
PAGE 1-B



PAGE 1-C

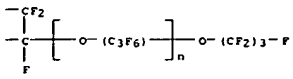
RN 166907-46-6 CAPLUS
CN Poly[oxy[trifluoro(trifluoromethyl)-1,2-ethanediyl]], α,α'-[1,11-difluoro-3,9-dioxo-1,11-bis(trifluoromethyl)-2,4,6,8,10-pentaazaundecane-1,11-diyl]bis[ω-(heptafluoropropoxy)- (9CI) (CA INDEX NAME)

PAGE 1-A



L14 ANSWER 46 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-B



L14 ANSWER 47 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN

AB TAN-1511 analogs were synthesized and their effects on the proliferation of bone marrow cells were examined To exert potent activity the following conditions are necessary: the configuration of the 2-amino-6,7-dihydroxy-4-thiaheptanoic acid moiety must be (2R,6R), long chain of acyl groups (C14 to C18) must be bound to both hydroxyl groups, the amino group must be free or acylated with the long chain fatty acid (ca. C14) and the peptide moiety must have glutamic acid as a component. Among the synthesized compds., trisodium (2R,6R)-2-amino-6,7-bis(hexadecanoyloxy)-4-thiaheptanoyl glycyl glutamyl glutamate, which has improved solubility, was effective in exptl. leukocytopenia in mice.

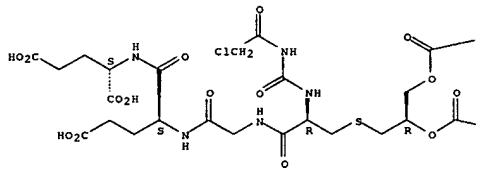
ACCESSION NUMBER: 1995:721938 CAPLUS
DOCUMENT NUMBER: 124:9399
TITLE: Synthesis and biological activities of TAN-1511 analogs
AUTHOR(S): Hida, Tsuneaki; Hayashi, Kozo; Yukishige, Koichi; Tanida, Seiichi; Kawamura, Noriaki; Harada, Setsuo
CORPORATE SOURCE: Discovery Res. Labs. I and II, Takeda Chemical Industries, Ltd., Osaka, 532, Japan
SOURCE: Journal of Antibiotics (1995), 48(7), 589-603
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 170645-07-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and biol. activities of TAN-1511 analogs)

RN 170645-07-5 CAPLUS
CN L-Glutamic acid, N-[N-[N-[S-[2,3-bis[(1-oxohexadecyl)oxy]propyl]-N-[[(chloroacetyl)amino]carbonyl]-L-cysteinyl]glycyl]-L-α-glutamyl]-, (R)- (9CI) (CA INDEX NAME)

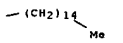
Absolute stereochemistry.

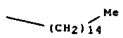
PAGE 1-A



L14 ANSWER 47 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-B





L14 ANSWER 48 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN

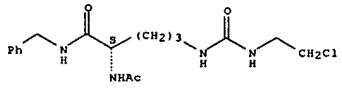
AB A series of N1-Me-, N1-allyl-, N1-(2-chloroethyl)-, and N1-propargylurea and -nitrosourea derivs. of diamino acids (L-ornithine and L-lysine) was synthesized and was shown to have weak activity in counteracting the cytopathic effects of the HIV-1 on a T4 lymphocyte cell line (CEM-IW). However, selected compds. may possess some immunomodulatory activity.

ACCESSION NUMBER: 1995:476632 CAPLUS
DOCUMENT NUMBER: 123:257294
TITLE: Synthesis and anti-HIV activity of new urea and nitrosourea derivatives of diamino acids
AUTHOR(S): Dulude, Helene; Salvador, Romano; Gallant, Gilles
CORPORATE SOURCE: Med. Chem. Lab., Univ. Montreal, Montreal, QC, H3C 3J7, Can.
SOURCE: Bioorganic & Medicinal Chemistry (1995), 3(2), 151-60
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 168703-63-7P 168703-64-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and anti-HIV activity of new urea and nitrosourea derivs. of lysine and ornithine)

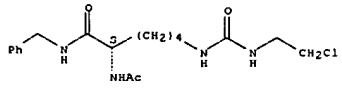
RN 168703-63-7 CAPLUS
CN Pentanamide, 2-(acetylamino)-5-[[[(2-chloroethyl)amino]carbonyl]amino]-N-(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

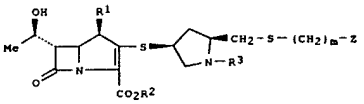


RN 168703-64-8 CAPLUS
CN Hexanamide, 2-(acetylamino)-6-[[[(2-chloroethyl)amino]carbonyl]amino]-N-(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L14 ANSWER 49 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I

AB The title compds. [I; R1 = H, (lower) alkyl; R2 = H, anion; R3 = H (lower) alkanimidoyl; Z = R9, C(:X)R4; R4 = (un)substituted NH2, heterocyclyl, etc.; R9 = hydroxyalkyl, carbamoyloxy; X = O, NH; m = 1-6; provided that when m = 1 and X = O then R4 = (un)substituted NH2], useful as antibiotics, are prepared Thus, (1R,5S,6S)-2-[(2S,4S)-2-[(cyanomethylcarbamoyl)methylmercaptomethyl]pyrrolidin-4-yl]thio-6-[(R)-1-hydroxyethyl]-1-methyl-1-carbapen-2-em-3-carboxylic acid was prepared and demonstrated a MIC of 0.05 μg/mL against S. aureus (SG 511), vs. 0.10 μg/mL for imipenem.

ACCESSION NUMBER: 1995:428715 CAPLUS
DOCUMENT NUMBER: 122:187247
TITLE: 2-(2-substituted pyrrolidin-4-yl)thiocarbapenem antibiotics
INVENTOR(S): Kwak, Hyo Sung; Lee, Chong Ryul; Lee, Sang Choon; Lee, Hong Woo; Son, Hoi Choo; Kim, Eung Nam; Min, Kyeong Bok
PATENT ASSIGNEE(S): Chong Kun Dang Corp., S. Korea
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9414811	A1	19940707	WO 1993-KR114	19931220
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9457178	A1	19940719	AU 1994-57178	19931220
EP 674640	A1	19951004	EP 1994-903107	19931220
R: DE, ES, FR, GB, IT				
JP 08507290	T2	19960806	JP 1994-515027	19931220
JP 2783683	B2	19980806		
KR 9707946	B1	19970519	KR 1993-28957	19931221
CN 1098104	A	19950201	CN 1994-101200	19940110
US 5641770	A	19970624	US 1995-448555	19950721
US 5756765	A	19980526	US 1997-818233	19970314

PRIORITY APPLN. INFO.:

KR 1992-24838	A	19921221
KR 1993-9017	A	19930525

L14 ANSWER 49 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

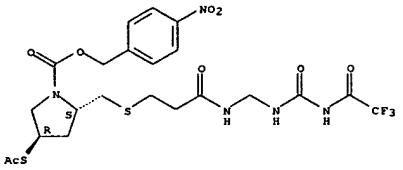
KR 1993-12009	A	19930630
WO 1993-KR114	W	19931220
US 1995-448555	A3	19950721

OTHER SOURCE(S): MARPAT 122:187247

IT **161666-97-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(2-(2-substituted pyrrolidin-4-yl)thiocarbapenem antibiotics)

RN 161666-97-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-(acetylthio)-2-(12,12,12-trifluoro-5,9,11-trioxo-2-thia-6,8,10-triazadodec-1-yl)-, (4-nitrophenyl)methyl ester, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 50 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN
AB In a study designed to examine the nature of short-lived, electrophilic intermediates liberated during decomposition of N,N'-bis(2-chloroethyl)-N-nitrosourea (BCNU) in vitro and also on administration of BCNU (140 μmol i.p.) to rats in vivo, both online and off-line LC/MS/MS techniques were employed to detect and characterize the corresponding glutathione (GSH) adducts present in incubation media and excreted into bile, resp. In vitro, four GSH conjugates were formed and these were identified, on the basis of their product ion spectra, as products of S- and N-carbamoylation and alkylation reactions. Although the relative proportions of these in vitro adducts were found to depend on the molar ratios of GSH and BCNU, the major adduct under all conditions studied proved to be S-(2-chloroethylcarbamoyl)glutathione (SCG). Anal. of untreated bile samples by means of online LC/MS/MS with constant neutral loss (129 u) and precursor ion (m/z 179) scanning techniques again led to the detection of four GSH conjugates, although only one of these (SCG) was common to the group of adducts identified in vitro. All of the GSH conjugates detected in bile represented products of S-carbamoylation, indicating that the alkylating moiety released from BCNU undergoes reactions in vivo with nucleophiles other than GSH.

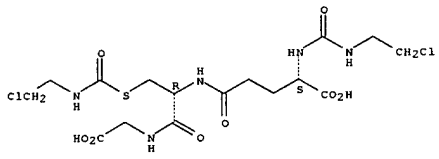
ACCESSION NUMBER: 1995:338829 CAPLUS
DOCUMENT NUMBER: 122:230065
TITLE: Studies on the formation of reactive intermediates from the antineoplastic agent N,N'-bis(2-chloroethyl)-N-nitrosourea (BCNU) in vitro and in vivo. Characterization of novel glutathione adducts by ionspray tandem mass spectrometry
AUTHOR(S): Davis, Margarte R.; Baillie, Thomas A.
CORPORATE SOURCE: Dept. Med. Chem., Univ. Washington, Seattle, WA, 98195, USA
SOURCE: Journal of Mass Spectrometry (1995), 30(1), 57-68
CODEN: JMSPFJ; ISSN: 1076-5174
PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: English

IT **162225-92-5**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(formation of reactive intermediates from antineoplastic agent BCNU - characterization of glutathione adducts)

RN 162225-92-5 CAPLUS
CN Glycine, N-[S-[[(2-chloroethyl)amino]carbonyl]-N-[N-[[(2-chloroethyl)amino]carbonyl]-L-γ-glutamyl]-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 50 OF 216 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



```
=> s carbodiimide?
L15      12287 CARBODIIMIDE?

=> s halo
        146076 HALO
          4079 HALOS
          3646 HALOES
L16     149849 HALO
                 (HALO OR HALOS OR HALOES)

=> s l15 and l16
L17        278 L15 AND L16

=> amine
AMINE IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s amine
        266322 AMINE
        248888 AMINES
L18     406319 AMINE
                 (AMINE OR AMINES)

=> s l17 and l18
L19         43 L17 AND L18

=> s carbonyl
        167846 CARBONYL
         27256 CARBONYLS
L20     175958 CARBONYL
                 (CARBONYL OR CARBONYLS)

=> l19 and l20
L19 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l19 and l20
L21          6 L19 AND L20

=> d ibib abs hitstr tot
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L21 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

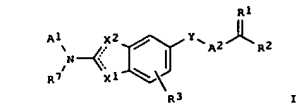
ACCESSION NUMBER: 2004:513393 CAPLUS
DOCUMENT NUMBER: 141:71544
TITLE: Preparation of substituted benzazoles as Raf kinase inhibitors
INVENTOR(S): Amiri, Payman; Fantl, Wendy; Levine, Barry Haskell; Poon, Daniel J.; Ramurthy, Savithri; Renhowe, Paul A.; Subramanian, Sharadha; Sung, Leonard
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 476 pp., Cont.-in-part of U.S. Pat. Appl. 2004 87,626.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004122237	A1	20040624	US 2003-675927	20030929
US 2004087626	A1	20040506	US 2003-405945	20030331
WO 2005032548	A1	20050414	WO 2004-US32161	20040929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

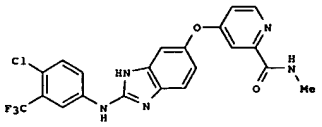
PRIORITY APPLN. INFO.:
US 2002-369066P P 20020329
US 2003-405945 A2 20030331
US 2003-675927 A 20030929

OTHER SOURCE(S): MARPAT 141:71544
GI

L21 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I



II

AB The title compds. I [wherein X1, X2 = N, NR4, O, S (with provisos); Y = O, S; A1 = (un)substituted alkyl, (hetero)cycloalkyl(alkyl), (hetero)aryl(alkyl), etc.; A2 = (un)substituted heteroaryl; R1 = O, H; R2 = NR5R6, OH; or CR1R2 = (un)substituted heterocycloalkyl, heteroaryl; R3 = H, halo, alkyl, alkoxy; R4 = H, OH, (di)alkylamino, alkyl; R5, R6 = H, (un)substituted (cyclo)alkyl, alkoxyalkyl, aminoalkyl, amidoalkyl, acyl, heterocyclyl, (hetero)aryl, etc.; or R5 and R6 are taken together to form (un)substituted heterocyclyl or heteroaryl; R7 = alkyl; and pharmaceutically acceptable salts, esters, or prodrugs] were prepared as Raf kinase inhibitors. Examples include synthetic methods and phys. data for 1400 compds., as well as descriptions of two Raf kinase bioassays. For instance, 4-amino-3-nitrophenol and (4-chloropyridin-2-yl)-N-methylcarboxamide were coupled using potassium bis(trimethylsilyl)amide and K2CO3 in DMF to give 4-[(4-amino-3-nitrophenyl)oxy]-N-methylpyridine-2-carboxamide. Pd-catalyzed hydrogenation, followed by cyclization with 4-chloro-3-(trifluoromethyl)benzeneisothiocyanate in the presence of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide.bul.HCl in THF provided the benzimidazole II. One thousand ninety-four compds. inhibited Raf kinase activity with IC50 < 5 μM in a Raf/Mek filtration assay or a biotinylated Raf screen. Thus, I and their pharmaceutical compns., which may comprise at least one addnl. agent, are useful for the treatment of Raf kinase mediated disorders, such as cancer (no data).

L21 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

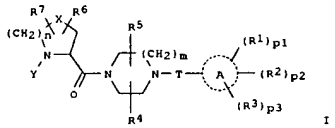
ACCESSION NUMBER: 2004:182866 CAPLUS
DOCUMENT NUMBER: 140:236096
TITLE: Preparation of proline derivatives as antibacterial agents
INVENTOR(S): Fujita, Masahiro; Sakamoto, Masato; Horiuchi, Nobuhiko; Yamamoto, Takayoshi; Tomita, Kyoji; Mizuno, Kazuhiro; Niga, Toshiyuki; Ito, Hideaki; Kashimoto, Shigeki
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018453	A1	20040304	WO 2003-JP10548	20030821
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2006052138	A2	20060223	JP 2002-242795	20020823
JP 2006052139	A2	20060223	JP 2002-339200	20021122
JP 2006052140	A2	20060223	JP 2003-27010	20030204
AU 2003257637	A1	20040311	AU 2003-257637	20030821

PRIORITY APPLN. INFO.:
JP 2002-242795 A 20020823
JP 2002-339200 A 20021122
JP 2003-27010 A 20030204
WO 2003-JP10548 W 20030821

OTHER SOURCE(S): MARPAT 140:236096
GI

L21 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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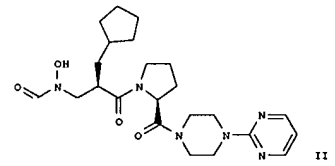


II

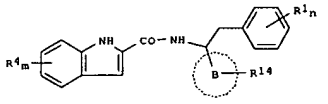
AB Proline derivs. represented by the general formula (I) or salts thereof [wherein A = a group derived from a 5- or 6-membered heterocycle which may be fused with an optionally halogenated benzene ring; p1, p2, p3 = 0, 1; R1, R2, R3 = H, lower alkoxy, lower alkylthio, halo, HO, (un)protected or (un)substituted NH2 or CONH2, hydroxy-lower alkylamino, CO2H, lower alkoxycarbonyl, lower alkylcarbonyloxy, (un)substituted lower alkylsulfonyloxy, cyano; when p1 = p2 = 1, CR1R2 = CO; or when p1 = p2 = p3 = 1, R1 = R2 = H and R3 = a 5- or 6-membered saturated or unsatd. cyclic group; T = a single bond, CH2, CO; R4, R5 = H, lower alkyl; or CR4R5 = CO; n, m = 1,2; R6, R7 = H, OH, halogeno, lower alkyl, Ph, lower alkoxy, phenyl-lower alkyl, (un)protected NH2; R6 and R7 together form a saturated cyclic group; X = CH2, CH, S, O; Y = H, an amino-protecting group, or a group represented by the general formula R9ON(CHO)CH2CH(R8)CO; wherein R8 = alkyl, cycloalkyl-lower alkyl; R9 = H, a hydroxyl-protecting group, etc.] are prepared These compds. are useful as antibacterial drugs against multidrug-resistant bacteria. Thus, (2R)-3-cyclopentyl-2-[[N-(2,4-dimethoxybenzyloxy)-N-formylamino]methyl]propionic acid was condensed with (2S)-2-[[4-(2-pyrimidinyl)-1-piperazinyl]carbonyl]pyrrolidine hydrochloride using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, 1-hydroxybenzotriazole, and Et3N in CH2Cl2 at room temperature for 18 h to give 68% (2S)-1-[(2R)-3-cyclopentyl-2-[[N-(2,4-dimethoxybenzyloxy)-N-formylamino]methyl]propionyl]-2-[[4-(2-pyrimidinyl)-1-piperazinyl]carbonyl]pyrrolidine which was treated with 3% CF3CO2H in CH2Cl2 at room temperature for 17 h and then with saturated aqueous NaHCO3 under ice-cooling to give 77% (2S)-1-[(2R)-3-cyclopentyl-2-[(N-formyl-N-

L21 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
hydroxyamino]methyl]propionyl]-2-[[4-(2-pyrimidinyl)-1-piperazinyl] carbonyl]pyrrolidine (II). II showed min. inhibitory concn. of 0.25, 0.125, 0.03, 0.25, 0.5, 0.125, 1, 0.5, and 0.125 μg/mL against Staphylococcus aureus Smith, S. aureus KTO150 (MRSA), S. epidermidis ATCC12228, Streptococcus pneumoniae ATCC49619, S. pneumoniae KT2524 (PRSP), S. pneumoniae KB2534 (PRSP), S. pyrogenes ATCC12344, Enterococcus faecium ATCC19434, and Moraxella (B.) catarrhalis K1209, resp.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L21 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:719475 CAPLUS
DOCUMENT NUMBER: 139:245897
TITLE: Preparation of indolecarboxamides that possess glycogen phosphorylase inhibitory activity
INVENTOR(S): Stocker, Andrew; Whittamore, Paul Robert Owen
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074517	A1	20030912	WO 2003-GB924	20030304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003209455	A1	20030916	AU 2003-209455	20030304
EP 1492788	A1	20050105	EP 2003-743430	20030304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20051309 63	A1	20050616	US 2003-506729	20030304
JP 2005526748	T2	20050908	JP 2003-572985	20030304
PRIORITY APPLN. INFO.:			GB 2002-5175 A	20020306
			WO 2003-GB924 W	20030304

OTHER SOURCE(S): MARPAT 139:245897
GI



I

AB Indole-2-carboxamides (I; e.g. Me (S)-5-[1-[(5-chloro-1H-indol-2-ylcarbonyl)amino]-2-phenylethyl]oxazole-4-carboxylate (II); m is 0, 1 or 2; n is 0, 1 or 2; B is Ph or heterocyclyl; R1 = for example halo, nitro, cyano, hydroxy, carboxy; R2 and R3 =, for example, C5-7cycloalkyl, cyano(C1-4)alkyl, C1-4alkyl ((un)substituted with 1 or 2 R8 groups), -OR8 and R8; R4 = for example H, halo, nitro, cyano, hydroxy, C1-4alkyl, and C1-4alkanoyl; R8 = for example hydroxy, heterocyclyl, aryl, -COCOOR9, -C(O)N(R9)(R10), (R9)(R10)N- and -COOR9; R9 and R10 = for example H, hydroxy, C1-4alkyl ((un)substituted by 1 or 2 R13); R13 = for example, hydroxy, C1-4alkoxy, heterocyclyl and

L21 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
C1-4alkanoyl; R14 = for example, H, halo, C1-4alkyl, C5-7cycloalkyl, C1-4alkoxy, cyano, cyano(C1-4)alkyl, -COR3, (R2)(R3)NCO-, and (R2)(R3)NSO2-) or a pharmaceutically acceptable salt or pro-drug thereof are claimed. They possess glycogen phosphorylase inhibitory activity and accordingly have value in the treatment of disease states assocd. with increased glycogen phosphorylase activity, e.g. type 2 diabetes, insulin resistance, syndrome X, hyperinsulinemia, hyperglucagonemia, cardiac ischemia, obesity. Inhibitory activity (IC50) of I in the direction of glycogen synthesis and on glycogen degrdn. were measure and are generally 100 μM to 1 nM; 2.4 μM for II in the latter assay. Processes for the manuf. of said heterocyclic amide derivs.
and pharmaceutical compns. contg. them are described. One example prepn. for I and 1 for an intermediate are included. To prep. II, 2-carboxy-5-chloroindole (0.75 mmol) was dissolved in CH2Cl2 (5 mL) contg.
HOBT (0.93 mmol), DIPEA (2.25 mmol) and Me (S)-5-(1-amino-2-phenylethyl)oxazole-4-carboxylate trifluoroacetate (0.75 mmol); 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (0.93 mmol) was added and the mixt. stirred at ambient temp. for 4 h; workup gave 65 % II. To prep. the reactant amine, Me (S)-5-(1-(tert-butoxycarbonylamino)-2-phenylethyl]oxazole-4-carboxylate (417 mg) was dissolved in HO2CCF3 (3 mL) and allowed to stand at ambient temp. for 1 h; workup gave 281 mg of the amine.
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L21 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:5928 CAPLUS
DOCUMENT NUMBER: 138:73271
TITLE: Preparation of N,N'-bis(heterocyclic acyl)cycloalkanediamine and heterocyclediamine derivatives as inhibitors of activated blood coagulation factor X (factor Xa)
INVENTOR(S): Ohta, Toshiharu; Komoriya, Satoshi; Yoshino, Toshiharu; Uoto, Kouichi; Nakamoto, Yumi; Naito, Hiroyuki; Mochizuki, Akiyoshi; Nagata, Tsutomu; Kanno, Hideyuki; Haginoya, Noriyasu; Yoshikawa, Kenji; Nagamochi, Masatoshi; Kobayashi, Syozo; Ono, Makoto
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 788 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000657	A1	20030103	WO 2002-JP2683	20020320
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005119486	A1	20050602	US 2003-481262	20020320
CA 2451605	AA	20030103	CA 2002-2451605	20020620
WO 2003000680	A1	20030103	WO 2002-JP6141	20020620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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EP 1405852	A1	20040407	EP 2002-743653	20020620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010541	A	20040622	BR 2002-10541	20020620
CA 2456841	AA	20030227	CA 2002-2456841	20020808
WO 2003016302	A1	20030227	WO 2002-JP8119	20020808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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L21 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

EP 1415992	A1	20040506	EP 2002-762760		20020808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002011565	A	20040629	BR 2002-11565		20020808
ZA 2003009866	A	20041220	ZA 2003-9866		20030130
NO 2003005634	A	20040218	NO 2003-5634		20031217
ZA 2004000926	A	20050204	ZA 2004-926		20040204
NO 2004000557	A	20040402	NO 2004-557		20040206
US 2005245565	A1	20051103	US 2004-481629		20040601
PRIORITY APPLN. INFO.:			JP 2001-187105	A	20010620
			JP 2001-243046	A	20010809
			JP 2001-311808	A	20011009
			JP 2001-398708	A	20011228
			WO 2002-JP2683	W	20020320
			WO 2002-JP6141	W	20020620
			WO 2002-JP8119	W	20020808

OTHER SOURCE(S): MARPAT 138:73271

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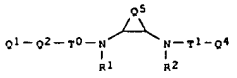

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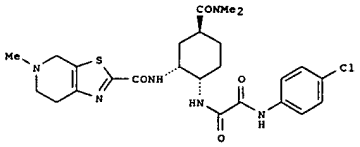

III

AB Diamine compds. represented by the following general formula [I; wherein R1, R2 = H, HO, alkoxy; Q1 = each (un)substituted and (un)saturated 5 or 6-membered cyclic hydrocarbyl, 5 to 7-membered heterocyclyl, or bicyclic or tricyclic fused hydrocarbyl or heterocyclyl; Q2 = a single bond, (un)substituted and (un)saturated bivalent cyclic hydrocarbon, 5 to 7-membered heterocycle, or bicyclic or tricyclic fused hydrocarbon or heterocyclic group; Q5 = C1-8 alkylene, C2-8 alkenylene, (CH2)mCH2-A-CH2(CH2)n (wherein m, n = an integer of 0-3); A = O, N, S, SO, SO2, NH, ONH, NHNH, SNH, SONH,

L21 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

SO2NH; R3 and R4 are groups substituted on C, N, or S in the ring contg. Q5 and are selected from H,HO, alkyl, alkenyl, alkynyl, halo, haloalkyl, cyano, cyanoalkyl, NH2, aminoalkyl, N-alkylaminoalkyl, N,N-dialkylaminoalkyl, acyl, acylalkyl, (un)substituted acylaminoalkyl, etc.; Q4 = each (un)substituted aryl, arylalkenyl, arylalkynyl, heteroaryl, or heteroarylalkenyl, each (un)satd. and (un)satd. bicyclic or tricyclic fused hydrocarbyl or heterocyclyl; T0 = CO, thiocarbonyl; T1 = CO, SO2, CO-CO, N-(un)substituted CO-NR, C(:S)-CO-NR, CO-C(S)-NR, C(S)-C(:S)-NR (wherein R = H,HO, alkyl, alkoxy), etc.], salts thereof, solvates of the same, or N-oxides of the same are prepd. The diamine compds. include N,N'-bis(heterocyclic acyl)-1,2-cyclopropanediamine, -1,2-cyclobutanediamine, 1,2-cyclopentanediamine, -1,2-cyclohexanediamine, 1,2-cycloheptanediamine, -1,2-cyclooctanediamine, -tetrahydro-3,4-furandiamine, -3,4-pyrrolidinediamine, -3,4-piperidinediamine, -tetrahydro-6-oxo-3,4-pyrandiamine, and -tetrahydro-3,4-thiopyrandiamine-1,1-dioxide derivs. These compds. are blood coagulation inhibitors and useful as preventives and/or remedies for thrombus or embolism including brain infarction, cerebral embolism, cardiac infarction, angina, pulmonary infarction, pulmonary embolism, Buerger's disease, deep venous thrombosis, disseminated intravascular coagulation syndrome, thrombosis following artificial flap/joint replacement, thrombosis and re-obstruction following blood flow reconstruction, systemic inflammatory reaction syndrome (SIRS), multiple organ dysfunction syndrome (MODS), thrombosis during external circulation or blood coagulation during blood collection. Thus, 288 mg 2-(4-chloroanilino)-2-oxoacetic acid Et ester was dissolved in 8.0 mL THF, treated with 46 mg LiOH and 1.0 mL H2O, stirred at room temp. for 2 h, concd. in dryness under reduced pressure to give 292 mg crude 2-(4-chloroanilino)-2-oxoacetic acid lithium salt (II). II and N-[(1R,2S,5S)-2-amino-5-[(dimethylamino)carbonyl]cyclohexyl]-5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine-2-carboxamide (prepn. given) were dissolved in 15 mL DMF and stirred with 164 mg 1-hydroxybenzotriazole hydrate and 251 mg 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp. for 64.5 h to give a cyclohexanediamine deriv. (III). III.HCl showed IC50 of 1.2 nM against human factor Xa.

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2002:240716 CAPLUS
DOCUMENT NUMBER:	136:279196
TITLE:	Preparation and use of amino alcohol derivatives for treatment of urinary incontinence
INVENTOR(S):	Sakurai, Minoru; Washizuka, Kenichi; Hamashima, Hitoshi; Tomishima, Yasuyo; Imanishi, Masashi; Nakajima, Yutaka; Ohtake, Hiroaki; Korada, Satoru; Murata, Masayoshi; Kayakiri, Hiroshi; Fujii, Naoaki; Taniguchi, Kiyoshi
PATENT ASSIGNEE(S):	Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE:	PCT Int. Appl., 112 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024635	A2	20020328	WO 2001-JP8155	20010919
WO 2002024635	A3	20030220		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001090246	A5	20020402	AU 2001-90246	20010919
JP 2004509162	T2	20040325	JP 2002-528649	20010919
US 2004037022	A1	20040226	US 2003-380627	20030321
US 6826033	B2	20041130		
PRIORITY APPLN. INFO.:			AU 2000-340 A	20000925
			WO 2001-JP8155 W	20010919

OTHER SOURCE(S): MARPAT 136:279196

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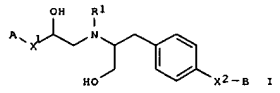
L21 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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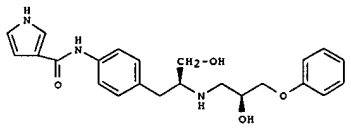


II

AB Title compds. I [X1 = bond, OCH2; X2 = (NR2CO)n, NHCOY1; R2 = H, alkyl; n = 1-2; Y1 = NR3; R3 = H, alkyl, etc.; R1 = H, amino protective group; A = Ph, indolyl, carbazolyl; B = H, halo, alkyl, alkoxycarbonyl, cycloalkyl, heterocyclic, naphthyl, 1,2,3,4-tetrahydronaphthyl, benzyl, phenyl] were prepared For instance, (2S)-2-(phenoxymethyl)oxirane was reacted with (2S)-2-amino-3-(4-nitrophenyl)-1-propanol to give (2S)-3-(4-nitrophenyl)-2-[((2S)-2-hydroxy-3-phenoxypropyl)amino]-1-propanol. This intermediate was protected as the N-Boc derivative which was then reduced (MeOHaq, 10% Pd-C, H2-1 atm) to give the corresponding aminophenyl derivative Carbodiimide coupling of this amine with 3-carboxypyrrole followed by deprotection provided II. II showed 2.6 ± 0.05 mm Hg increase in intravesical pressure (compared to 7.0 ± 1.0 mm Hg control) induced by carbachol in anesthetized dog. I are useful for the prophylactic and/or the therapeutic treatment of pollakiures or urinary incontinence.

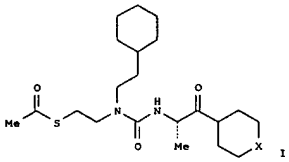
L21 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:640828 CAPLUS
DOCUMENT NUMBER: 131:272178
TITLE: Preparation of N-(mercaptoalkyl)urea derivatives of amino acids as inhibitors of TNF-α production
INVENTOR(S): Mita, Shiro; Horiuchi, Masato; Ban, Masakazu; Suhara, Hiroshi
PATENT ASSIGNEE(S): Santen Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 324 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950238	A1	19991007	WO 1999-JP1554	19990325
W: CA, CN, KR, NO, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2000044533	A2	20000215	JP 1999-78346	19990323
JP 3603177	B2	20041222		
CA 2325741	AA	19991007	CA 1999-2325741	19990325
EP 1072591	A1	20010131	EP 1999-910724	19990325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6492370	B1	20021210	US 2000-623779	20000908
US 2002198376	A1	20021226	US 2002-147131	20020515
US 6730784	B2	20040504		

PRIORITY APPLN. INFO.: JP 1998-79154 A 19980326
WO 1999-JP1554 W 19990325
US 2000-623779 A3 20000908

OTHER SOURCE(S): MARPAT 131:272178
GI



I

AB Prepared are α-[N'-(mercaptoalkyl)ureido]alkanamide compds. having a urea structure as the basic structure and carrying sulfur and amide bonds in side chains. The above compds. are represented by general formula R1S-A1(R7)-NR2CONR3-A2(R4)CONR5R6 [wherein R1 represents H, (un)substituted lower alkyl or aromatic group, RA-CO-, RC-S- or a group of formula S-A1(R7)-NR2CONR3-A2(R4)CONR5R6; R2, R3 and R4 represent each H, (un)substituted lower alkyl or alkenyl, cycloalkyl, cycloalkenyl or (un)substituted arom. group; R5 and R6 represent each H, (un)substituted lower alkyl or alkenyl, cycloalkyl, cycloalkenyl or (un)substituted arom. group, or R5 and R6 may form together (un)substituted nonarom. heterocycle; R7 represents H, (un)substituted lower alkyl, cycloalkyl, hydroxy, mercapto, Ph, RB-O-, RC-S-, RD-COS-, RE-OCO-, RF-N(RG)- or -CONHOH; A1 and A2 represent each an alkylene; RA represents lower (halo)alkyl, arom. group, lower alkoxy, arom.-lower alkoxy, RF, or NRG; RB represents lower alkyl or arom. group; RC represents H, lower alkyl, arom. group; RD represents lower alkyl or arom. group; RE represents H, lower alkyl, or arom. group, RF and RG represent H, lower alkyl, cycloalkyl, or arom. group]. It has been found out that these compds. have pharmacol. effects, in particular, a tumor necrosis factor-α (TNF-α) prodn. inhibitory effect. They are useful as remedies for autoimmune diseases and as antirheumatics. Thus, (2S)-2-[3-[2-(acetylthio)ethyl]-3-(2-cyclohexylethyl)ureido]propionic acid (prepn. given) was condensed with N-methylpiperazine using 1-hydroxybenzotriazole, 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide hydrochloride, and N-methylmorpholine in CH2Cl2 at room temp. overnight to give the title compd. (I; X = NMe) in 78% yield. I (X = NMe) and I (X = O) at 50 mg/kg p.o. inhibited the Salmonella lipopolysaccharide-induced prodn. of TNF-α in rats by 84.6 and 93.5%, resp.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                   138.45     579.54

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -20.25     -33.75

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 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  JAN 17  Pre-1988 INPI data added to MARPAT
 NEWS  4  FEB 21  STN AnaVist, Version 1.1, lets you share your STN AnaVist
                  visualization results
 NEWS  5  FEB 22  The IPC thesaurus added to additional patent databases on STN
 NEWS  6  FEB 22  Updates in EPFULL; IPC 8 enhancements added
 NEWS  7  FEB 27  New STN AnaVist pricing effective March 1, 2006
 NEWS  8  MAR 03  Updates in PATDPA; addition of IPC 8 data without attributes
 NEWS  9  MAR 22  EMBASE is now updated on a daily basis
 NEWS 10  APR 03  New IPC 8 fields and IPC thesaurus added to PATDPAFULL
 NEWS 11  APR 03  Bibliographic data updates resume; new IPC 8 fields and IPC
                  thesaurus added in PCTFULL
 NEWS 12  APR 04  STN AnaVist $500 visualization usage credit offered
 NEWS 13  APR 12  LINSPEC, learning database for INSPEC, reloaded and enhanced
 NEWS 14  APR 12  Improved structure highlighting in FQHIT and QHIT display
                  in MARPAT
 NEWS 15  APR 12  Derwent World Patents Index to be reloaded and enhanced during
                  second quarter; strategies may be affected
 NEWS 16  MAY 10  CA/CAplus enhanced with 1900-1906 U.S. patent records
 NEWS 17  MAY 11  KOREAPAT updates resume
```

NEWS 18 MAY 19 Derwent World Patents Index to be reloaded and enhanced

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

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NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8
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* *

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Thank you in advance for your participation.

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FILE 'HOME' ENTERED AT 11:52:36 ON 24 MAY 2006

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'CAPLUS' ENTERED AT 11:52:42 ON 24 MAY 2006
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FILE COVERS 1907 - 24 May 2006 VOL 144 ISS 22
FILE LAST UPDATED: 23 May 2006 (20060523/ED)

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=> s N-((3-morpholinopropylimino)methylene)-6-iodohexan-1-amine
MISSING OPERATOR 'N-((3-MORPHOL'
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> s N-((3-morpholinopropylimino)methylene)-6-iodohexan-1-amine
MISSING OPERATOR 'N-((3-MORPHOL'
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	5.06	5.27

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DICTIONARY FILE UPDATES: 23 MAY 2006 HIGHEST RN 885357-09-5

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**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************
```

Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and

predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

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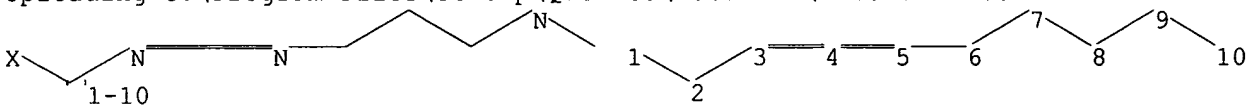
Uploading C:\Program Files\Stnexp\Queries\10654363\10654363Y.str



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9 10
ring/chain nodes :
1 2 3 4 5 6 7 8
chain bonds :
9-10
ring/chain bonds :
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exact/norm bonds :
1-2 6-7 7-8
exact bonds :
2-3 3-4 4-5 5-6 8-9 9-10

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS

L1 STRUCTURE UPLOADED

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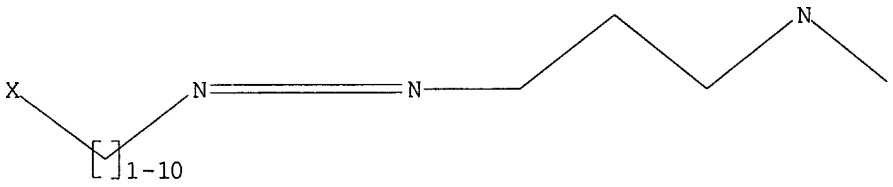
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 57360 TO ITERATE

3.5% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
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PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 11:59:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  1145510 TO ITERATE

 87.3% PROCESSED  1000000 ITERATIONS                                 8 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.16

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                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1145510 TO  1145510
PROJECTED ANSWERS:               8 TO       18

L3            8 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 166.94     172.21

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=> s l3
L4            3 L3

=> d ibib abs hitstr tot
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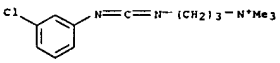
L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:226620 CAPLUS
DOCUMENT NUMBER: 137:154586
TITLE: Substituent effects in the addition of carboxylic acids to arylcarbodiimides
AUTHOR(S): Mock, William L.; Ochwat, Krzysztof J.
CORPORATE SOURCE: Department of Chemistry, University of Illinois at Chicago, Chicago, IL, 60607-7061, USA
SOURCE: Journal of the Chemical Society, Perkin Transactions 2
(2002), (4), 843-847
CODEN: JCSPGI; ISSN: 1472-779X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

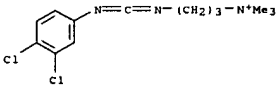
AB Rates of addition in aqueous solution of RCOOH (R = CH3-, CH3OCH2-, ClCH2-, Cl2CH-) to ArN:C:NCH2CH2CH2N+(CH3)3 [Ar = C6H5-, 3-ClC6H4-, 4-CH3OC6H4-, 3,4-Cl2C6H3-, 2,4-(CH3O)2C6H3-] yielding a transient O-acylisourea, have been measured as a function of pH. Relative activities indicate a reaction mechanism in which a carboxylate anion adds to a mono- or di-protonated arylcarbodiimide, available in minor amts. Only a weak dependence of reaction velocity upon basicity of carboxylate nucleophile is noted (Bronsted β value of .apprx.0.2). Ease of prefatory protonation of aryl-attached nitrogen within ArN:C:NR' (as estimated from the basicity of correspondingly substituted quinolines) appears to dominate reactivity, so that the presence of electron-donating ring substituents renders such an arylcarbodiimide significantly more susceptible to addition by carboxylates.

IT **446030-18-8P 446030-20-2P**
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(substituent effects in addition of carboxylic acids to arylcarbodiimides)

RN 446030-18-8 CAPLUS
CN 1-Propanaminium, 3-[[(3-chlorophenyl)carbonimidoyl]amino]-N,N,N-trimethyl- (9CI) (CA INDEX NAME)



RN 446030-20-2 CAPLUS
CN 1-Propanaminium, 3-[[(3,4-dichlorophenyl)carbonimidoyl]amino]-N,N,N-trimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:686330 CAPLUS
DOCUMENT NUMBER: 133:263532
TITLE: Fluorescent group containing carbodiimides, their precursors and methods for their production
INVENTOR(S): Kimura, Naoki; Shiohata, Namiko; Yoshikawa, Yoko
PATENT ASSIGNEE(S): Nisshinbo Industries, Inc., Japan; Nisshin Spinning
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1038938	A2	20000927	EP 2000-302335	20000322
EP 1038938	A3	20010620		
EP 1038938	B1	20040526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6642380	B1	20031104	US 2000-533918	20000323
JP 2001172259	A2	20010626	JP 2000-87013	20000327
US 2004049047	A1	20040311	US 2003-654305	20030902
US 2004059111	A1	20040325	US 2003-654363	20030902

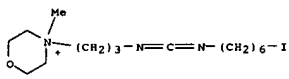
PRIORITY APPLN. INFO.: JP 1999-81666 A 19990325
JP 1999-284107 A 19991005
US 2000-533918 A3 20000323

OTHER SOURCE(S): MARPAT 133:263532

AB Fluorescent group-containing carbodiimide compound precursors having a halogen atom or a sulfonic acid group are described, as are fluorescent group-containing carbodiimide compds. having ≥1 group selected from a carboxyl group, a sulfo group, a phosphono group and a phospho group which have substituents selected from alkali metals, alkaline earth metals, or a basic group containing a nitrogen or phosphorus atom. Methods for producing the fluorescent group-containing carbodiimide compound precursors are described which entail synthesizing a (thio)urea compound, halogenating or sulfonating the (thio)urea compound, and carbodiimidating the resulting compound Methods for producing the fluorescent group-containing carbodiimide compds. are also described. Methods for detecting a nucleic acid by hybridization utilizing a nucleic acid labeled with a labeling substance, which use the fluorescent group-containing carbodiimide compds. as the labeling substance are also described.

IT **296764-67-5P**
RL: IMF (Industrial manufacture); PRP (Properties); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(fluorescent group-containing carbodiimides and their precursors and methods for their production and their use as fluorescent markers in DNA

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
hybridization)
RN 296764-67-5 CAPLUS
CN Morpholinium, 4-[3-[[(6-iodohexyl)carbonimidoyl]amino]propyl]-4-methyl-, iodide (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1949:4493 CAPLUS
DOCUMENT NUMBER: 43:4493
ORIGINAL REFERENCE NO.: 43:1015c-i,1016a-h
TITLE: Aliphatic carbodiimides. IV
AUTHOR(S): Schmidt, Erich; Striewsky, Willi; Hitzler, Fritz
SOURCE: Ann. (1948), 560, 222-31
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 43:4493

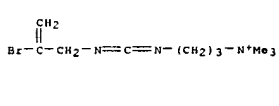
AB cf. C.A. 36, 4804.1. Carbodiimides of the type RCH2N:C:NCH2R or RCH2N:C:NCHR2 are unstable in contrast to the stable types R2CHN:C:NCHR2, RCH2N:C:NCR3, R2CHN:C:NCR3, and R3CN:C:NCR3. Increasing chain length of RCH2 in the unstable types has little effect. In the stable types the stability is increased by the radicals in the order RCH2 < R2CH < R3C. The influence of the R3C group is lessened when the other group is unsatd. (as CH2:CBrCH2) or basic (as Me2NCH2CH2CH2). The diallylcarbodiimide is very unstable. Method of preparation: PrNCS (81.3 g.) and 450 cc. ligroin (b. 30-60°) are treated with 31.5 g. dry MeNH2 gas, cooled, the ligroin evaporated after 24 hrs., and the precipitate obtained by cooling washed with cold ligroin and dried over H2SO4 and KOH to give 104.9 g. MeNHCSNHPr (I), m. 77.5-8.5° (from H2O or C6H6). Finely powdered crude I (20 g.) and 190 cc. dry Et2O are shaken 10 min. with 65.6 g. yellow HgO, and the solid phase washed with Et2O until no test with AgNO3-NH3 is given; the Et2O solution (dried over CaCl2) gives 12 g. MeN:C:NPr (II), b70-75 62-4°. Rapid redistn. gave a neutral colorless II, b712 126-7°, and a slightly basic residue insol. in H2O, EtOH, and Et2O. The use of peroxide-containing Et2O gave an impure II. II was turbid after 1 month (with the separation of a colorless solid) and after 12 months was still partly liquid. Addition of Na wire converted II in 30 min. to a brown solid. MeNHCSNHCMe3 (III), prepared in 100.5-g. yield from 80.6 g. Me3CNCS (IV), m. 110-11°. III (20 g.), prepared similarly to I but with 44 g. HgO in 400 cc. H2O, gave 14.1 g. MeN:C:NCMe3, b90-95 62-4°, b707 119.5-20.5°; after 3 years the product distilled without leaving any residue. Addition of Na wire caused gradual precipitation of a solid phase, not complete after 2 months. PrNHCSNHCMe3 (V), obtained in 52-g. yield from 34.6 g. IV and 18.6 g. PrNH2 (distilled over K) in 70 cc. ligroin 24 hrs., m. 56.5-7.5°. V (20 g.), shaken with HgO 1-2 hrs. as with I, gave 14.4 g. colorless PrN:C:NCMe3 (VI), b10 49-50°. VI, after 3 years, distilled without leaving any residue; addition of Na wire gave a clear yellow mixture which after 2.5 months was only partly soluble in Et2O and on distillation gave 56% basic VI, redistd. as pure VI. Me2CHNHCSNHCMe3, prepared similarly to V in 97% yield from IV, m. 148.5-9.5°; 20 g. with HgO in 3 hrs. gave 14.8 g. Me2CHN:C:NCMe3, b10 42-3°, stable over a 3-year period. Me3CNHCSNHC6H11 (VII), prepared in 100% yield from IV and cyclohexylamine, m. 150° (slow heating), m. 156-7° (rapid heating and decomposition). VII is crystallized from 3-4 parts boiling C6H6; this solution and its vapor are basic. Shaking 20 g. VII in 350 cc. Et2O and 50 g. HgO 6 hrs. gave 16.2 g. Me3CN:C:NC6H11, b10 101-2°, m.

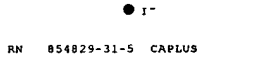
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

2-3 days. Addn. of 8 g. freshly distd. XVIII in 60 cc. EtOAc to 5.1 g. MeI in 100 cc. dry EtOAc gave a neutral soln. in 12 hrs. and 12.3 g. methiodide, m. 122.5-3.5° (from 35 cc. boiling CHCl3).

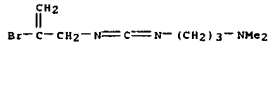
IT **854829-30-4**, Carbodiimide, (2-bromoallyl)(3-dimethylamino-propyl)-, methiodide **854829-31-5**, Carbodiimide, (2-bromoallyl)(3-dimethylamino-propyl)-
(preparation of)

RN 854829-30-4 CAPLUS
CN Carbodiimide, (2-bromoallyl)(3-dimethylamino-propyl)-, methiodide (5CI) (CA INDEX NAME)





RN 854829-31-5 CAPLUS
CN Carbodiimide, (2-bromoallyl)(3-dimethylamino-propyl)- (5CI) (CA INDEX NAME)



L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

6-7°, stable over a 3-year period. (Me3CNH)2CS (VIII), prepd. in 95% yield from IV and Me3CNH2, m. 140-50° (scaled tube with decompn.). VIII sublimes in an open capillary tube and its boiling C6H6 soln. and vapor are basic from decompn. to amines. VIII, shaken 30 hrs. with HgO in Et2O, gave 93.5% C(:NCMe3)2, b10 47.5-8.5°, stable over a 3-yr. period. Me2NCH2CH2CH2NHCSNHCMe3 (IX), obtained in 91% yield from Me2NHCH2CH2CH2NH2 (distd. over K) and IV, m. 47.5-9.5°; 65 g. IX is purified by extn. with ligroin, concn. to 50 cc., and cooling. The recrystd. IX is basic in H2O. IX picrate m. 148.5-9.5° (from 50 parts boiling H2O). IX (33.4 g.), shaken with 50 g. HgO 1 hr. in 385 cc. dry C6H6 and 1.5 hrs. with 16.7 g. fresh HgO and dried over K2CO3, gave 25.7 g. Me2NCH2CH2CH2N:C:NCMe3, b10 94-6°. The product dissolved in H2O to give an alk. soln., turned yellow gradually, and in 12 weeks distn. gave 95% recovery. Addn. of Na wire gave a solid in 3 months. The freshly distd. product (5 g.) in 35 cc. EtOAc added to 3.9 g. MeI in 65 cc. EtOAc gave a neutral soln. in 20 hrs. and 8.7 g. methiodide, m. 145.5-6.5° (from 25 cc. CHCl3 and 100 cc. EtOAc). Shaking 60 g. freshly prepd. BrCH2CBr:CH2 in 90 cc. Et2O and 60 g. KSCN in 50 cc. H2O 120 hrs., washing the Et2O layer with H2O to a neg. FeCl3 test, drying over CaCl2, and distn. gave 50.8 g. of an oily mixt. of CH2:CBrCH2NCS (X) and CH2:CBrCH2SCN (XI), b10 100° (cf. C.A. 21, 53). X and XI treated 40 hrs. with boiling isooctane (b. 96-9°) to rearrange XI to X gave 44.5 g. X, b10 77.5-9.5°, a colorless liquid turning slightly yellow in 1 month. PrNHCSNHCH2CBr:CH2 (XII), obtained in 30.8-g. yield from 9.05 g. PrNH2 in 10 cc. C6H6 and 26 g. freshly distd. X in 25 cc. C6H6 with cooling, m. 68.5-9.5° (from 45 cc. hot C6H6 in fine long needles). Shaking 20 g. XII in 210 cc. dry C6H6 with 25 g. HgO 5 min. and then 5 min. addnl. shaking with 3 g. fresh HgO gave 15.9 g. PrN:C:NCH2CBr:CH2 (XIII), b10 96-7M°; the colorless product turned yellow in a few hours, in 4 weeks became partly insol. in Et2O, and distn. gave 20% residue. Me2CHNHCSNHCH2CBr:CH2 (XIV), prepd. in 93% yield from Me2CHNH2 and X in Et2O, m. 80.5-81.5° (from hot C6H6); the XIV after melting and solidification sintered at 87.5-88° and m. 92°. Shaking 20 g. XIV 10 min. with 25 g. HgO in 210 cc. Et2O, then 10 min. more with 11.5 g. fresh HgO, gave 15.5 g. Me2CHN:C:NCH2CBr:CH2, b10 87-8°, of similar stability as XIII. C6H11NHCSNHCH2CBr:CH2 (XV), prepd. in 51-g. yield from 21.3 g. C6H11NH2 and 36.5 g. X in 60 cc. Et2O, m. 91.5-2.5° (from 50 cc. hot C6H6); shaking 20 g. XV and two 18-g. portions of HgO in 180 cc. C6H6 gave 15.8 g. C6H11N:C:NCH2CBr:CH2, b10 141-2°; the product turned yellow gradually, in 8 weeks became partially insol. in Et2O, and distn. gave 90% recovery. Me3CNHCSNHCH2CBr:CH2 (XVI), obtained in 20.6-g. yield from 8.6 g. Me3CNH2 and 20 g. X in 35 cc. cold Et2O, m. 80-1°; XVI was purified by extn. with Et2O, concn. to 20 cc., and cooling. XVI shaken with HgO 3 min. in C6H6 gave 91% Me3CN:C:NCH2CBr:CH2, b10 89-90°; the product turns yellow in a few days but only a slight decompn. occurred in 8 weeks. Me2NCH2CH2CH2NHCSNHCH2CBr:CH2 (XVII), prepd. in 89% yield from Me2NCH2CH2CH2NH2 and X, m. 68.5-9.5°; picrate, prepd. as IX, m. 158.5-9.5°. Shaking 20 g. XVII with 20- and 10-g. portions of HgO 10 min. gave 15.1 g. Me2NCH2CH2CH2N:C:NCH2CBr:CH2 (XVIII), b11 138.5-40°; the product was isolated originally by distn. at 0.05 mm. and 120° bath temp. XVIII in H2O is basic. Freshly prepd. XVIII soon became turbid, dark, and viscous, and in 4-6 weeks was converted to a red-brown solid. Addn. of Na wire caused solidification in